

Access DB# 22205

SEARCH REQUEST FORM

Scientific and Technical Information Center

Requester's Full Name: L. E. Crane Examiner #: 65753 Date: 08/04/00
Art Unit: 1623 Phone Number 30 8-4639 Serial Number: 09/380,688 638
Mail Box and Bldg/Room Location: CM1; 7E-15 Results Format Preferred (circle): PAPER DISK E-MAIL

If more than one search is submitted, please prioritize searches in order of need.

Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc, if known. Please attach a copy of the cover sheet, pertinent claims, and abstract.

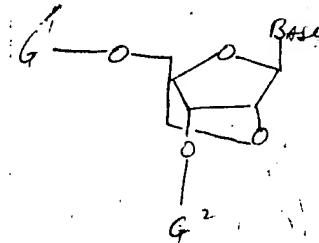
Title of Invention: see copy of claims attached

Inventors (please provide full names): "

Earliest Priority Filing Date: "

For Sequence Searches Only Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.

Please search for the following structure and oligonucleotides
~~KRKAKKAK~~ incorporating same --



*G + G² defined in
claim 2 or open
for oligonucleotides*

*Please Rush
George Elliott*

STAFF USE ONLY		Type of Search	Vendors and cost where applicable
Searcher:	<u>John</u>	NA Sequence (#)	STN
Searcher Phone #:	<u>74199</u>	AA Sequence (#)	Dialog
Searcher Location:		Structure (#)	Questel/Orbit
Date Searcher Picked Up:	<u>8/3</u>	Bibliographic	Dr.Link
Date Completed:	<u>8/7</u>	Litigation	Lexis/Nexis
Searcher Prep & Review Time:	<u>2:00</u>	Fulltext	Sequence Systems
Clerical Prep Time:	<u>15:45</u>	Patent Family	WWW/Internet
Online Time:		Other	Other (specify)

=> fil reg

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STRUCTURE FILE UPDATES: 4 AUG 2000 HIGHEST RN 283584-30-5
 DICTIONARY FILE UPDATES: 4 AUG 2000 HIGHEST RN 283584-30-5

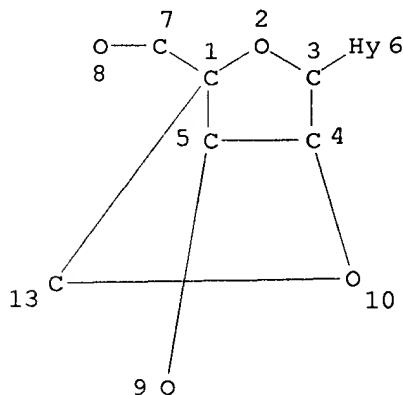
TSCA INFORMATION NOW CURRENT THROUGH JANUARY 11, 2000

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 conducting SmartSELECT searches.

Structure search limits have been increased. See HELP SLIMIT
 for details.

=> d sta que 115

L13 STR



NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM
 DEFAULT ECLEVEL IS LIMITED
 ECOUNT IS M2 N AT 6

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED
 NUMBER OF NODES IS 11

STEREO ATTRIBUTES: NONE

L15 54 SEA FILE=REGISTRY SSS FUL L13

100.0% PROCESSED 1348 ITERATIONS
 SEARCH TIME: 00.00.01

54 ANSWERS

=> d his 115-116

(FILE 'REGISTRY' ENTERED AT 12:26:36 ON 07 AUG 2000)
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 SAV L15 CRANE380/A
 L16 1 S L15 AND C40H47N4O9P

=> d ide can 116

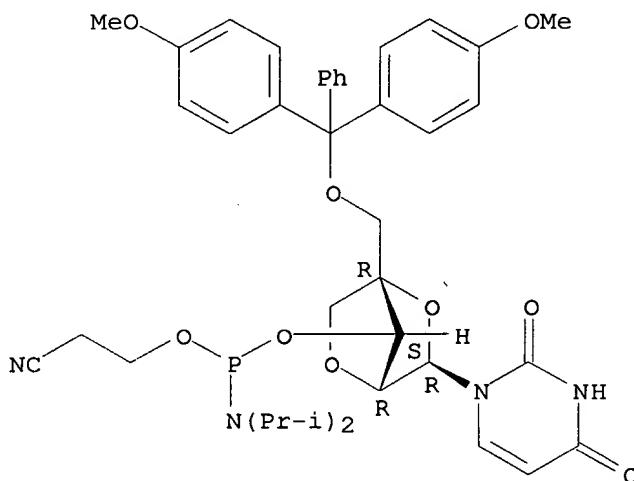
L16 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2000 ACS

Point of Contact:
 Jan De'Leval

Librarian-Physical Sciences
 CM1E01 Tel: 308-4498

RN 206055-76-7 REGISTRY
 CN Uridine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-2'-O,4'-C-methylene-,
 3'-[2-cyanoethyl bis(1-methylethyl)phosphoramidite] (9CI) (CA INDEX NAME)
 FS STEREOSEARCH
 MF C40 H47 N4 O9 P
 SR CA
 LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.



4 REFERENCES IN FILE CA (1967 TO DATE)
 4 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 130:252609

REFERENCE 2: 129:245421

REFERENCE 3: 129:216849

REFERENCE 4: 128:308697

=> d his 125-

(FILE 'REGISTRY' ENTERED AT 12:26:36 ON 07 AUG 2000)
 L25 53 S L15 NOT L16

FILE 'HCAOLD' ENTERED AT 12:50:07 ON 07 AUG 2000
 L26 0 S L16
 L27 0 S L25

FILE 'HCAPLUS' ENTERED AT 12:50:12 ON 07 AUG 2000
 L28 4 S L16
 L29 14 S L25
 L30 14 S L28,L29
 E IMANISHI T/AU
 L31 197 S E3,E15
 E OBIKA S/AU
 L32 28 S E4
 L33 5 S L31-L32 AND L30
 L34 9 S L30 NOT L33
 L35 9 S L30 AND (PY<=1998 OR PRY<=1997 OR PRY.B<=1997 OR AY<=1997 OR
 L36 9 S L30 AND L35
 L37 4 S L33 AND L36
 L38 5 S L33,L37
 L39 9 S L30,L35 NOT L38

L40 5 S L35 AND L39
L41 198 S L31, L32
L42 193 S L41 NOT L33, L38

FILE 'REGISTRY' ENTERED AT 12:55:59 ON 07 AUG 2000

FILE 'HCAPLUS' ENTERED AT 12:56:00 ON 07 AUG 2000

SET SMARTSELECT ON

L43 SEL L42 1- RN : 2815 TERMS
SET SMARTSELECT OFF

FILE 'REGISTRY' ENTERED AT 12:56:19 ON 07 AUG 2000

L44 2709 S L43
L45 158 S L44 AND UNSPECIFIED/MF
L46 54 S L44 AND C METHYLENE
L47 54 S L45 AND L46
L48 104 S L45 NOT L47
L49 66 S L48 AND NUCLE?/FS
L50 284 S NUCLE?/FS AND C METHYLENE
L51 230 S L50 NOT L47
L52 228 S L51 NOT L15

FILE 'HCAPLUS' ENTERED AT 13:00:32 ON 07 AUG 2000

L53 3 S L47
L54 28 S L52
L55 8 S L38, L53
L56 8 S L55 AND L41
L57 26 S L54 NOT L56
L58 2 S L54 AND L41
L59 8 S L56, L58
L60 26 S L54 NOT L59
L61 17 S L60 AND (PRY<=1998 OR PRY<=1997 OR PRY.B<=1997 OR AY<=1997 OR
SAV L61 CRANE380CA/A

FILE 'REGISTRY' ENTERED AT 13:03:37 ON 07 AUG 2000

=> fil hcaplus

FILE 'HCAPLUS' ENTERED AT 13:04:24 ON 07 AUG 2000
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FILE COVERS 1967 - 7 Aug 2000 VOL 133 ISS 7
FILE LAST UPDATED: 6 Aug 2000 (20000806/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

This file supports REG1stRY for direct browsing and searching of all substance data from the REGISTRY file. Enter HELP FIRST for more information.

Now you can extend your author, patent assignee, patent information, and title searches back to 1907. The records from 1907-1966 now have this searchable data in CAOLD. You now have electronic access to all of CA: 1907 to 1966 in CAOLD and 1967 to the present in HCAPLUS on STN.

=> d bib abs hitrn tot 159

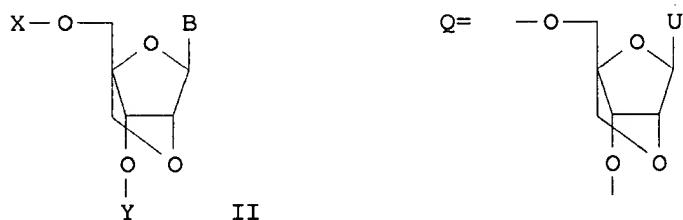
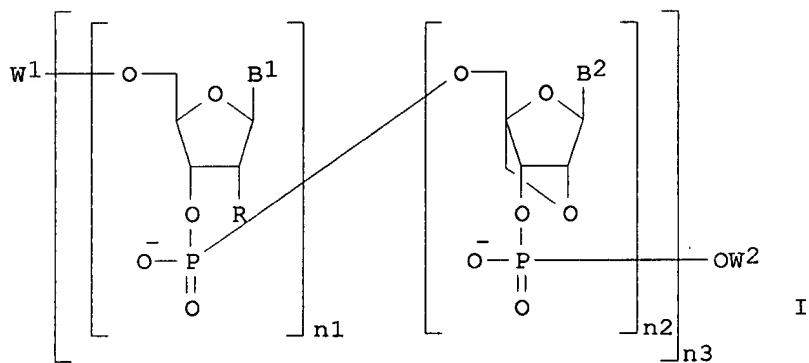
L59 ANSWER 1 OF 8 HCAPLUS COPYRIGHT 2000 ACS
 AN 2000:42188 HCAPLUS
 DN 132:222792
 TI Triplex formation by an oligonucleotide containing conformationally locked C-nucleoside, 5-(2-O,4-C-methylene-.beta.-D-ribofuranosyl)oxazole
 AU Obika, Satoshi; Hari, Yoshiyuki; Ken-Ichiro, Morio;
 Imanishi, Takeshi
 CS Graduate School of Pharmaceutical Sciences, Osaka University, Osaka, 565-0871, Japan
 SO Tetrahedron Lett. (1999), Volume Date 2000, 41(2), 221-224
 CODEN: TELEAY; ISSN: 0040-4039
 PB Elsevier Science Ltd.
 DT Journal
 LA English
 AB The triplex-forming ability of oligonucleotide analogs contg. conformationally locked C-nucleosides, 5-(2-O,4-C-methylene-.beta.-D-ribofuranosyl)oxazole or its 2-Ph congener, towards a purine sequence of duplex DNA with a single C.cntdot.G base pair interruption is studied.
 IT 260961-02-2P 260961-03-3P 260961-04-4P
 260961-20-4P 261151-48-8P 261151-49-9P
 261151-50-2P 261151-51-3P 261151-52-4P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (triplex formation by an oligonucleotide contg. conformationally locked C-nucleoside 5-(C-methyleneribofuranosyl)oxazole)
 RE.CNT 19
 RE
 (1) Griffin, L; J Am Chem Soc 1992, V114, P7976 HCAPLUS
 (2) Griffin, L; Science 1989, V245, P967 HCAPLUS
 (3) Horne, D; Nucleic Acids Res 1991, V19, P4963 HCAPLUS
 (4) Huang, C; Nucleic Acids Res 1996, V24, P2606 HCAPLUS
 (5) Koshkin, A; J Am Chem Soc 1998, V120, P13252 HCAPLUS
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L59 ANSWER 2 OF 8 HCAPLUS COPYRIGHT 2000 ACS
 AN 2000:42187 HCAPLUS
 DN 132:208082
 TI Synthesis of conformationally locked C-nucleosides having a 2,5-dioxabicyclo[2.2.1]heptane ring system
 AU Obika, Satoshi; Hari, Yoshiyuki; Ken-Ichiro, Morio;
 Imanishi, Takeshi
 CS Graduate School of Pharmaceutical Sciences, Osaka University, Osaka, 565-0871, Japan
 SO Tetrahedron Lett. (1999), Volume Date 2000, 41(2), 215-219
 CODEN: TELEAY; ISSN: 0040-4039
 PB Elsevier Science Ltd.
 DT Journal
 LA English
 AB Some novel C-nucleosides having a 2,5-dioxabicyclo[2.2.1]heptane ring system were successfully synthesized via the coupling reaction of tetrahydrofuran carbaldehyde with the lithium and the magnesium derivs. of arom. heterocycles.
 IT 260434-66-0P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (synthesis of conformationally locked C-nucleosides having a dioxabicyclo[2.2.1]heptane ring system)
 RE.CNT 17
 RE
 (1) Herdewijn, P; Liebigs Ann Chem 1996, P1337 HCAPLUS
 (2) Jones, G; J Org Chem 1979, V44, P1309 HCAPLUS
 (3) Koshkin, A; J Am Chem Soc 1998, V120, P13252 HCAPLUS
 (4) Koshkin, A; Tetrahedron 1998, V54, P3607 HCAPLUS
 (5) Kvaerno, L; Chem Commun 1999, P657 HCAPLUS
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

AN 1999:188587 HCPLUS
 DN 130:296948
 TI Preparation and properties of 2',5'-linked oligodeoxyribonucleotide
 analogs containing 3'-O,4'-C-methylene-ribonucleosides
 AU Obika, Satoshi; Morio, Ken-ichiro; Hari, Yoshiyuki;
 Imanishi, Takeshi
 CS Graduate School of Pharmaceutical Sciences, Osaka University, Suita,
 565-0871, Japan
 SO Bioorg. Med. Chem. Lett. (1999), 9(4), 515-518
 CODEN: BMCLE8; ISSN: 0960-894X
 PB Elsevier Science Ltd.
 DT Journal
 LA English
 AB Bicyclic nucleoside analogs, 3'-O,4'-C-methyleneuridine and
 -5-methyluridine, were successfully incorporated into oligonucleotides via
 connection with 2',5'-phosphodiester linkage, and hybridization behavior
 and nuclease stability of the modified oligonucleotides were investigated.
 IT 207807-33-8P 207807-34-9P 207807-35-0P
 207807-36-1P 207869-84-9P 207869-85-0P
 207869-86-1P 207869-87-2P 207869-88-3P
 207869-89-4P 207869-90-7P 223112-82-1P
 223112-87-6P 223113-91-5P 223113-92-6P
 223113-93-7P 223113-94-8P 223113-95-9P
 223113-96-0P 223113-97-1P 223113-98-2P
 223243-68-3P 223243-69-4P 223243-70-7P
 223243-71-8P 223243-72-9P 223243-73-0P
 223243-74-1P 223243-75-2P 223243-76-3P
 223243-78-5P 223243-81-0P 223243-83-2P
 223243-84-3P 223243-85-4P
 RL: BPR (Biological process); SPN (Synthetic preparation); BIOL
 (Biological study); PREP (Preparation); PROC (Process)
 (prepn. and nuclease resistance of 2',5'-linked
 oligodeoxyribonucleotide analogs contg. methylenenribonucleosides)
 IT 207869-83-8P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. and nuclease resistance of 2',5'-linked
 oligodeoxyribonucleotide analogs contg. methylenenribonucleosides)
 RE.CNT 22
 RE
 (1) Alul, R; Antisense Res Dev 1995, V5, P3 HCPLUS
 (2) Beaucage, S; Tetrahedron 1993, V49, P6123 HCPLUS
 (3) Dougherty, J; J Am Chem Soc 1992, V114, P6254 HCPLUS
 (4) Giannaris, P; Nucleic Acids Res 1993, V21, P4742 HCPLUS
 (5) Hashimoto, H; J Am Chem Soc 1992, V114, P6255 HCPLUS
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L59 ANSWER 4 OF 8 HCPLUS COPYRIGHT 2000 ACS
 AN 1998:612113 HCPLUS
 DN 129:245421
 TI Preparation of antisense bicyclonucleoside and oligonucleotide analogs
 IN Imanishi, Takeshi; Obika, Satoshi
 PA Japan
 SO PCT Int. Appl., 51 pp.
 CODEN: PIXXD2
 DT Patent
 LA Japanese
 FAN.CNT 1
 PATENT NO. KIND DATE APPLICATION NO. DATE
 PI WO 9839352 A1 19980911 WO 1998-JP945 19980309 <--
 W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, GH,
 GM, GW, HU, ID, IL, IS, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LV,
 MD, MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, SL,
 TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ,
 MD, RU, TJ, TM
 RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI,

FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM,
GA, GN, ML, MR, NE, SN, TD, TG
 JP 10304889 A2 19981117 JP 1998-55114 19980306 <--
 AU 9861209 A1 19980922 AU 1998-61209 19980309 <--
 AU 720472 B2 20000601
 EP 1013661 A1 20000628 EP 1998-905804 19980309 <--
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, FI
 PRAI JP 1997-53409 19970307 <--
 WO 1998-JP945 19980309
 OS MARPAT 129:245421
 GI



AB Oligo- or polynucleotide analogs (I; B1, B2 = pyrimidine or purine nucleic acid base or its analog; R = H, OH, halo, alkoxy; W1, W2 = H, alkyl, alkenyl, alkynyl, cycloalkyl, aralkyl, aryl, acyl, silyl, PO3H2, natural nucleoside bonded through a phosphodiester linkage or its analog or oligo- or polynucleotide contg. these nucleoside; n1, n2 = an integer of 1-50; provided that n1 and n2 are not simultaneously 0 or all n2 is not 0; n3 = an integer of 1-50; provide when n1 and/or n2 is .gt; or <= 2, B and B1 are not necessarily identical or R is not necessarily identical) are prep'd. from nucleoside analogs (II; B = pyrimidine or purine nucleic acid base or analog; X, Y = H, alkyl, alkenyl, alkynyl, cycloalkyl, aralkyl, aryl, acyl, silyl) or its amidite deriv. They can provide antisense mols. of oligonucleotide analogs that are less likely to undergo enzymic hydrolysis in vivo, have a high capability of binding to sense chains, and can be easily synthesized. Thus, 5'-GTTTTTTTTXXC-3' (X = Q), which was prep'd. by a Pharmacia Gene Assembler Plus on a controlled pore glass using the phosphoramidite II [B = uracil residue, X = 4,4'-dimethoxytrityl, Y = P[N(CHMe2)2]OCH2CH2CN], showed much higher resistance against hydrolysis by snake venom than natural 5'-GTTTTTTTTTC-3'.

IT 213183-17-6P 213183-18-7P 213183-19-8P
 213183-20-1P 213183-21-2P 213183-22-3P
 213183-23-4P 213183-25-6P 213183-26-7P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP

(Preparation); USES (Uses)

(prepn. of antisense bicyclonucleoside-contg. oligonucleotide analogs with resistance against enzymic hydrolysis)

IT 212314-11-9 212314-12-0 212314-13-1
 212314-14-2 212314-15-3 212314-16-4
 212314-17-5 212314-18-6 212314-19-7
 212314-20-0 212314-21-1 212314-22-2
 212314-23-3 212314-24-4 212314-25-5
 212314-26-6

RL: FMU (Formation, unclassified); PRP (Properties); FORM (Formation, nonpreparative)

(prepn. of antisense bicyclonucleoside-contg. oligonucleotide analogs with resistance against enzymic hydrolysis)

IT 195705-32-9P 200435-91-2P 200435-92-3P
 206055-67-6P 206055-76-7P 212970-71-3P
 212970-81-5P 212970-82-6P 212970-83-7P
 212970-84-8P 212970-85-9P 212970-86-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of antisense bicyclonucleoside-contg. oligonucleotide analogs with resistance against enzymic hydrolysis)

L59 ANSWER 5 OF 8 HCPLUS COPYRIGHT 2000 ACS

AN 1998:454046 HCPLUS

DN 129:216849

TI Stability and structural features of the duplexes containing nucleoside analogs with a fixed N-type conformation, 2'-O,4'-C-methyleneribonucleosides

AU **Obika, Satoshi**; Nanbu, Daishu; Hari, Yoshiyuki; Andoh, Jun-Ichi; Morio, Ken-Ichiro; Doi, Takefumi; **Imanishi, Takeshi**

CS Graduate School of Pharmaceutical Sciences, Osaka University, Suita, 565-0861, Japan

SO Tetrahedron Lett. (1998), 39(30), 5401-5404

CODEN: TELEAY; ISSN: 0040-4039

PB Elsevier Science Ltd.

DT Journal

LA English

AB Bicyclic nucleoside analogs with a fixed N-type conformation, 2'-O,4'-C-methylene-uridine and -cytidine, were incorporated into oligonucleotides, and the binding efficiency of the modified oligonucleotides to the complementary DNA and RNA as well as the CD spectra of the modified DNA-DNA and modified DNA-RNA duplexes were studied.

IT 212193-93-6 212193-94-7 212314-11-9
 212314-12-0 212314-13-1 212314-14-2
 212314-15-3 212314-16-4 212314-17-5
 212314-18-6 212314-19-7 212314-20-0
 212314-21-1 212314-22-2 212314-23-3
 212314-24-4 212314-25-5 212314-26-6
 212314-27-7

RL: PRP (Properties)

(stability and structural features of the duplexes contg.

2'-O,4'-C-methylene-ribonucleosides with a fixed N-type conformation)

IT 195705-32-9

RL: RCT (Reactant)

(stability and structural features of the duplexes contg.

2'-O,4'-C-methylene-ribonucleosides with a fixed N-type conformation)

IT 206055-76-7P 211935-22-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)

(stability and structural features of the duplexes contg.

2'-O,4'-C-methylene-ribonucleosides with a fixed N-type conformation)

L59 ANSWER 6 OF 8 HCPLUS COPYRIGHT 2000 ACS

AN 1998:352858 HCPLUS

DN 129:28175

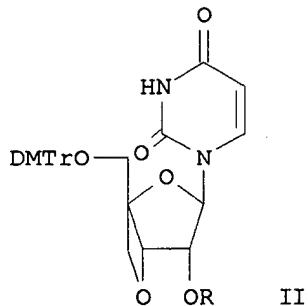
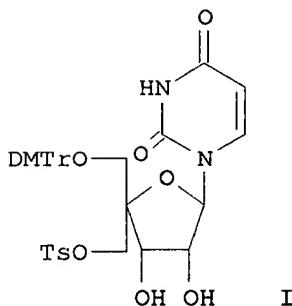
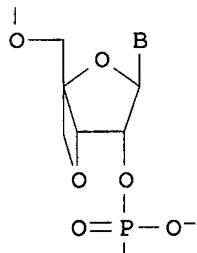
TI Preparation of antisense oligonucleotide analogs

IN **Imanishi, Takeshi**

PA Imanishi, Takeshi, Japan
 SO PCT Int. Appl., 27 pp.
 CODEN: PIXXD2
 DT Patent
 LA Japanese
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9822489	A1	19980528	WO 1997-JP4187	19971118
	W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, GH, HU, ID, IL, IS, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	JP 10195098	A2	19980728	JP 1997-315567	19971117
	AU 9749669	A1	19980610	AU 1997-49669	19971118
	EP 963997	A1	19991215	EP 1997-912488	19971118
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	US 6043060	A	20000328	US 1999-308367	19990518
PRAI	JP 1996-306585		19961118		
	WO 1997-JP4187		19971118		
OS	MARPAT 129:28175				
GI					

Q=



AB Oligo- or polynucleotide analogs contg. one or more nucleotide analog monomer units represented by general formula (Q; B = a pyrimidine or purine nucleic acid base or a deriv. thereof) are prep'd. These oligonucleotides are antisense mols. which are not readily hydrolyzable by an enzyme in vivo, and exhibit a high binding power for sense strand, and are easy of synthesis. They are expected to be useful as drugs such as antitumor and antiviral agents for treating diseases by inhibiting gene function. Thus, tosylation of 2',3'-O-cyclohexylidene-4'-(hydroxymethyl)uridine by tosyl chloride in pyridine followed by deprotection with aq. CF₃CO₂H and 4,4'-dimethoxytrityl chloride in

pyridine gave the uridine deriv. (I; DMTr = 4,4'-dimethoxytrityl) which was treated with sodium hexamethyldisilazane (NaHMDS) in THF to give the anhydro uridine deriv. (II; R = H). The latter compd. was condensed with (Me2CH)2POCH2CH2CN in MeCN/THF to give the uridine phosphoramidite deriv. II [R = P(OCH2CH2CN)N(CHMe2)2] (III). III was incorporated into the 12-mer oligodeoxynucleotide 5'-d(GCGTTXTTGCT)-3' (X = Q) by the phosphoramidite solid phase method using a Pharmacia DNA synthesizer (Gene Assembler Plus), duplexes of which with complimentary DNA, 5'-d(AGCAAAAAACGC)-3', and complimentary RNA, 5'-r(AGCAAAAAACGC)-3' showed melting temp. of 44.degree. and 47.degree., resp. In an assay for resistance against enzymic hydrolysis by exonuclease, the oligodeoxynucleotide 5'-d(GTTTTTTTXXC)-3' was hydrolyzed by snake venom phosphodiesterase in .apprx.90 min vs. .apprx.30 min for 5'-d(GTTTTTTTXXC)-3'.

IT 207807-25-8P 207807-26-9P 207807-27-0P
 207807-28-1P 207807-29-2P 207807-30-5P
 207807-31-6P 207807-32-7P 207807-33-8P
 207807-34-9P 207807-35-0P 207807-36-1P
 207869-82-7P 207869-83-8P 207869-84-9P
 207869-85-0P 207869-86-1P 207869-87-2P
 207869-88-3P 207869-89-4P 207869-90-7P
 RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of antisense oligonucleotide analogs as antitumor and antiviral agents)

L59 ANSWER 7 OF 8 HCPLUS COPYRIGHT 2000 ACS
 AN 1997:790891 HCPLUS
 DN 128:61733
 TI Synthesis of 2'-O,4'-C-methyleneuridine and -cytidine. Novel bicyclic nucleosides having a fixed C3'-endo sugar puckering
 AU Obika, Satoshi; Nanbu, Daishu; Hari, Yoshiyuki; Morio, Ken-Ichiro; In, Yasuko; Ishida, Toshimasa; Imanishi, Takeshi
 CS Faculty of Pharmaceutical Sciences, Osaka University, Suita, 565, Japan
 SO Tetrahedron Lett. (1997), 38(50), 8735-8738
 CODEN: TELEAY; ISSN: 0040-4039
 PB Elsevier Science Ltd.
 DT Journal
 LA English
 AB 2'-O,4'-C-Methyleneuridine and -cytidine, novel bicyclic nucleoside analogs having a typical C3-endo sugar puckering, were synthesized starting from uridine via a several-step sequence.
 IT 195705-32-9P 200435-91-2P 200435-92-3P
 200435-94-5P 200435-95-6P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
 (synthesis of bicyclic nucleosides having a fixed C3'-endo sugar puckering)
 IT 200435-96-7P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (synthesis of bicyclic nucleosides having a fixed C3'-endo sugar puckering)

L59 ANSWER 8 OF 8 HCPLUS COPYRIGHT 2000 ACS
 AN 1997:621268 HCPLUS
 DN 127:248347
 TI Synthesis and conformation of 3'-O,4'-C-methyleneribonucleosides, novel bicyclic nucleoside analogs for 2',5'-linked oligonucleotide modification
 AU Obika, Satoshi; Morio, Ken-Ichiro; Nanbu, Daishu; Imanishi, Takeshi
 CS Faculty of Pharmaceutical Sciences, Osaka University, Suita, 565, Japan
 SO Chem. Commun. (Cambridge) (1997), (17), 1643-1644
 CODEN: CHCOFS; ISSN: 1359-7345
 PB Royal Society of Chemistry
 DT Journal
 LA English

AB Novel bicyclic nucleoside analogs 3'-O,4'-C-methyleneribonucleosides are conveniently prep'd. starting from uridine; the sugar puckering is found to be nearly in the S-conformation by means of PM3 calcns. and 1H NMR studies.

IT **195705-32-9P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and conformation of C-methyleneribonucleosides)

=> fil reg

FILE 'REGISTRY' ENTERED AT 13:05:23 ON 07 AUG 2000
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DICTIONARY FILE UPDATES: 4 AUG 2000 HIGHEST RN 283584-30-5

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 11, 2000

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conducting SmartSELECT searches.

Structure search limits have been increased. See HELP SLIMIT
for details.

=> d his 162-

(FILE 'HCAPLUS' ENTERED AT 13:00:32 ON 07 AUG 2000)
SAV L61 CRANE380CA/A

FILE 'REGISTRY' ENTERED AT 13:03:37 ON 07 AUG 2000

FILE 'HCAPLUS' ENTERED AT 13:04:24 ON 07 AUG 2000
SEL HIT RN L59

FILE 'REGISTRY' ENTERED AT 13:04:56 ON 07 AUG 2000

L62 99 S E1-E99
L63 16 S L62 AND L25
L64 83 S L62 NOT L63

FILE 'REGISTRY' ENTERED AT 13:05:23 ON 07 AUG 2000

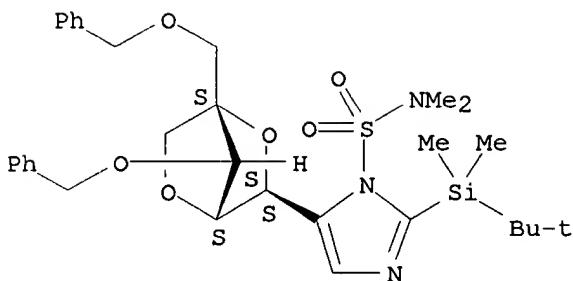
=> d ide can tot 163

L63 ANSWER 1 OF 16 REGISTRY COPYRIGHT 2000 ACS
RN **260434-66-0** REGISTRY
CN L-Arabinitol, 1,4:2,5-dianhydro-5-C-[1-[(dimethylamino)sulfonyl]-2-[(1,1-dimethylethyl)dimethylsilyl]-1H-imidazol-5-yl]-2-C-[(phenylmethoxy)methyl]-3-O-(phenylmethyl)-, (5S)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C31 H43 N3 O6 S Si
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.

dit compds

*for ref 1-8,
applicants*

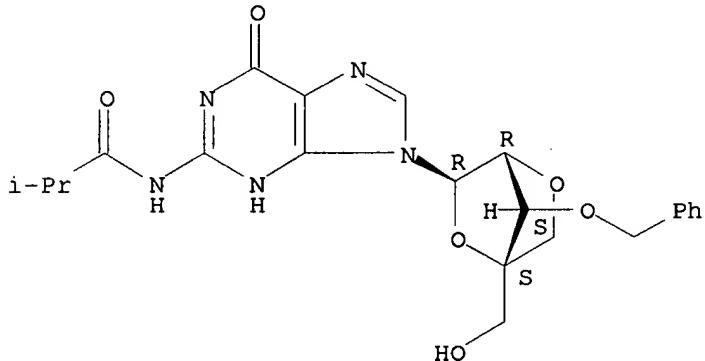


1 REFERENCES IN FILE CA (1967 TO DATE)
 1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 132:208082

L63 ANSWER 2 OF 16 REGISTRY COPYRIGHT 2000 ACS
 RN 212970-86-0 REGISTRY
 CN Guanosine, 2'-O,4'-C-methylene-N-(2-methyl-1-oxopropyl)-3'-O-(phenylmethyl)- (9CI) (CA INDEX NAME)
 FS STEREOSEARCH
 MF C22 H25 N5 O6
 SR CA
 LC STN Files: CA, CAPLUS

Absolute stereochemistry.

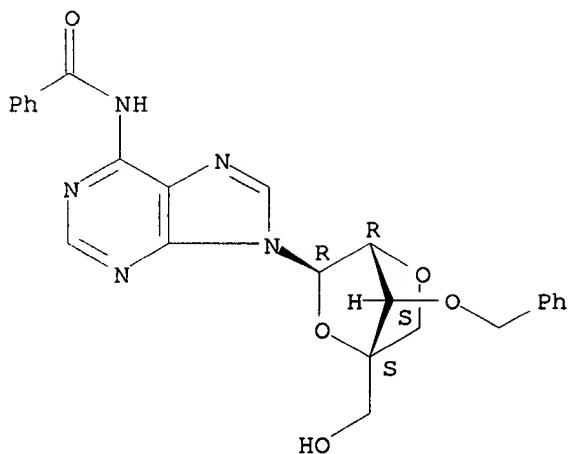


1 REFERENCES IN FILE CA (1967 TO DATE)
 1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 129:245421

L63 ANSWER 3 OF 16 REGISTRY COPYRIGHT 2000 ACS
 RN 212970-85-9 REGISTRY
 CN Adenosine, N-benzoyl-2'-O,4'-C-methylene-3'-O-(phenylmethyl)- (9CI) (CA INDEX NAME)
 FS STEREOSEARCH
 MF C25 H23 N5 O5
 SR CA
 LC STN Files: CA, CAPLUS

Absolute stereochemistry.

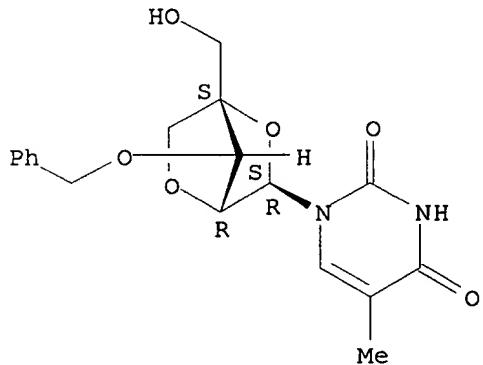


1 REFERENCES IN FILE CA (1967 TO DATE)
 1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 129:245421

L63 ANSWER 4 OF 16 REGISTRY COPYRIGHT 2000 ACS
 RN 212970-84-8 REGISTRY
 CN Uridine, 5-methyl-2'-O,4'-C-methylene-3'-O-(phenylmethyl)- (9CI) (CA INDEX NAME)
 FS STEREOSEARCH
 MF C18 H20 N2 O6
 SR CA
 LC STN Files: CA, CAPLUS

Absolute stereochemistry.

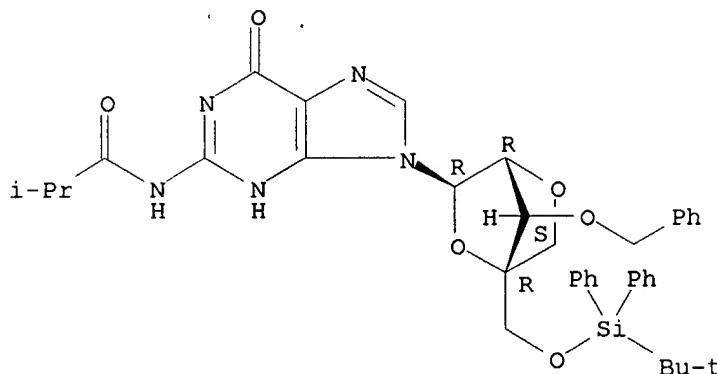


1 REFERENCES IN FILE CA (1967 TO DATE)
 1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 129:245421

L63 ANSWER 5 OF 16 REGISTRY COPYRIGHT 2000 ACS
 RN 212970-83-7 REGISTRY
 CN Guanosine, 5'-O-[(1,1-dimethylethyl)diphenylsilyl]-2'-O,4'-C-methylene-N-(2-methyl-1-oxopropyl)-3'-O-(phenylmethyl)- (9CI) (CA INDEX NAME)
 FS STEREOSEARCH
 MF C38 H43 N5 O6 Si
 SR CA
 LC STN Files: CA, CAPLUS

Absolute stereochemistry.

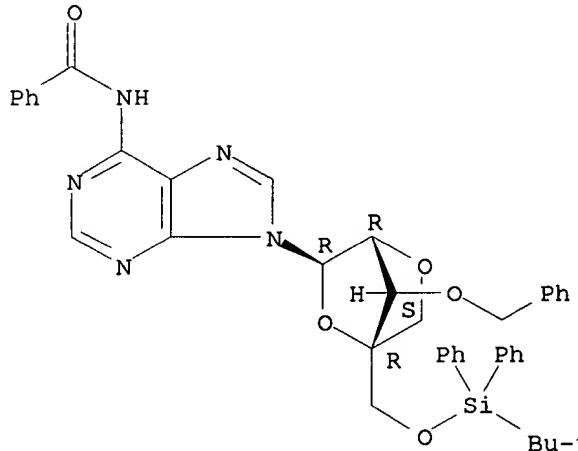


1 REFERENCES IN FILE CA (1967 TO DATE)
 1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 129:245421

L63 ANSWER 6 OF 16 REGISTRY COPYRIGHT 2000 ACS
 RN 212970-82-6 REGISTRY
 CN Adenosine, N-benzoyl-5'-O-[(1,1-dimethylethyl)diphenylsilyl]-2'-O,4'-C-methylene-3'-O-(phenylmethyl)- (9CI) (CA INDEX NAME)
 FS STEREOSEARCH
 MF C41 H41 N5 O5 Si
 SR CA
 LC STN Files: CA, CAPLUS

Absolute stereochemistry.

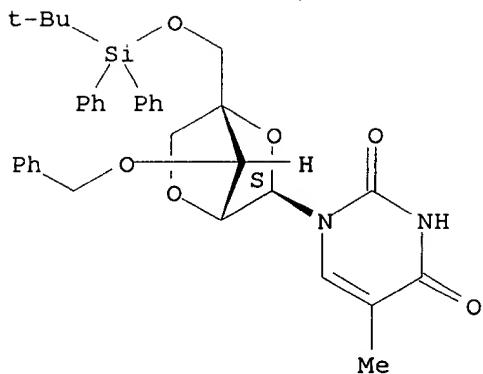


1 REFERENCES IN FILE CA (1967 TO DATE)
 1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 129:245421

L63 ANSWER 7 OF 16 REGISTRY COPYRIGHT 2000 ACS
 RN 212970-81-5 REGISTRY
 CN Uridine, 5'-O-[(1,1-dimethylethyl)diphenylsilyl]-5-methyl-2'-O,4'-C-methylene-3'-O-(phenylmethyl)- (9CI) (CA INDEX NAME)
 FS STEREOSEARCH
 MF C34 H38 N2 O6 Si
 SR CA
 LC STN Files: CA, CAPLUS

Absolute stereochemistry. Rotation (+).

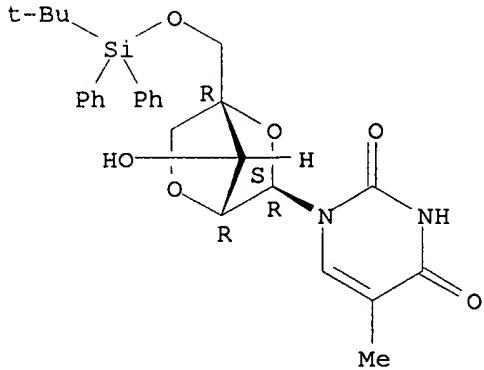


1 REFERENCES IN FILE CA (1967 TO DATE)
 1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 129:245421

L63 ANSWER 8 OF 16 REGISTRY COPYRIGHT 2000 ACS
 RN 212970-71-3 REGISTRY
 CN Uridine, 5'-O-[(1,1-dimethylethyl)diphenylsilyl]-5-methyl-2'-O, 4'-C-methylene- (9CI) (CA INDEX NAME)
 FS STEREOSEARCH
 MF C27 H32 N2 O6 Si
 SR CA
 LC STN Files: CA, CAPLUS

Absolute stereochemistry.

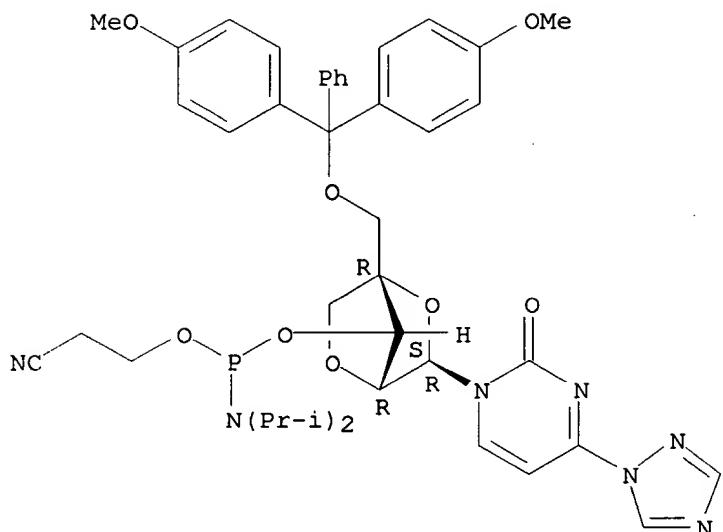


1 REFERENCES IN FILE CA (1967 TO DATE)
 1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 129:245421

L63 ANSWER 9 OF 16 REGISTRY COPYRIGHT 2000 ACS
 RN 211935-22-7 REGISTRY
 CN 2(1H)-Pyrimidinone, 1-[2,5-anhydro-4-C-[(bis(4-methoxyphenyl)phenylmethoxy)methyl]-3-O-[(bis(1-methylethyl)amino)(2-cyanoethoxy)phosphino]-.alpha.-L-lyxofuranosyl]-4-(1H-1,2,4-triazol-1-yl)- (9CI) (CA INDEX NAME)
 FS STEREOSEARCH
 MF C42 H48 N7 O8 P
 SR CA
 LC STN Files: CA, CAPLUS

Absolute stereochemistry.

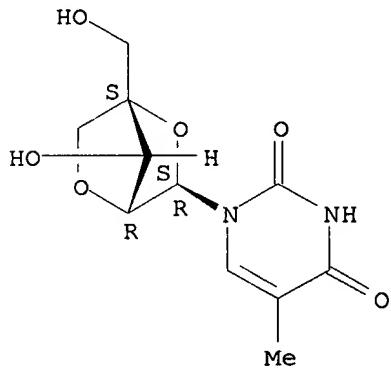


1 REFERENCES IN FILE CA (1967 TO DATE)
 1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 129:216849

L63 ANSWER 10 OF 16 REGISTRY COPYRIGHT 2000 ACS
 RN 206055-67-6 REGISTRY
 CN Uridine, 5-methyl-2'-O,4'-C-methylene- (9CI) (CA INDEX NAME)
 FS STEREOSEARCH
 MF C11 H14 N2 O6
 SR CA
 LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry. Rotation (+).



7 REFERENCES IN FILE CA (1967 TO DATE)
 7 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 131:157908

REFERENCE 2: 130:252609

REFERENCE 3: 130:125331

REFERENCE 4: 129:245421

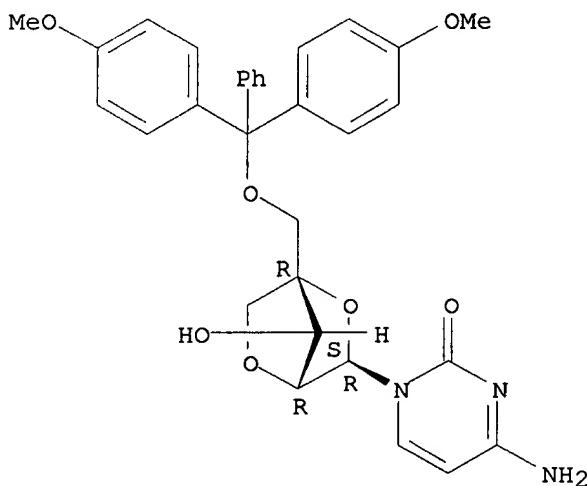
REFERENCE 5: 129:109295

REFERENCE 6: 129:1792

REFERENCE 7: 128:308697

L63 ANSWER 11 OF 16 REGISTRY COPYRIGHT 2000 ACS
 RN 200435-96-7 REGISTRY
 CN 2 (1H)-Pyrimidinone, 4-amino-1-[2,5-anhydro-4-C-[[bis(4-methoxyphenyl)phenylmethoxy]methyl]-.alpha.-L-lyxofuranosyl]- (9CI) (CA INDEX NAME)
 FS STEREOSEARCH
 MF C31 H31 N3 O7
 SR CA
 LC STN Files: CA, CAPLUS

Absolute stereochemistry.

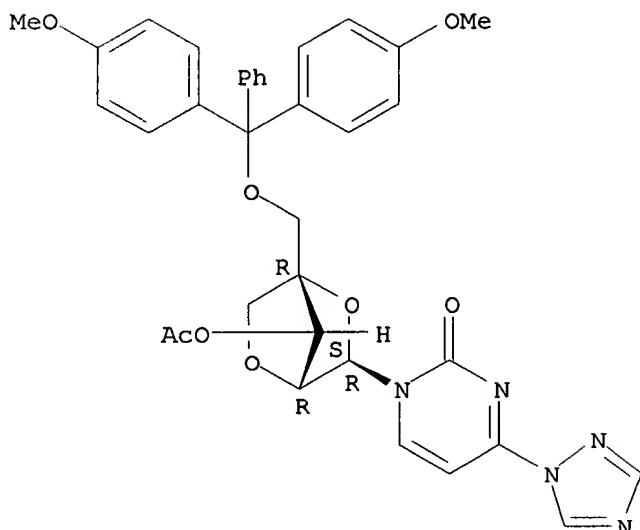


1 REFERENCES IN FILE CA (1967 TO DATE)
 1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 128:61733

L63 ANSWER 12 OF 16 REGISTRY COPYRIGHT 2000 ACS
 RN 200435-95-6 REGISTRY
 CN 2 (1H)-Pyrimidinone, 1-[3-O-acetyl-2,5-anhydro-4-C-[[bis(4-methoxyphenyl)phenylmethoxy]methyl]-.alpha.-L-lyxofuranosyl]-4-(1H-1,2,4-triazol-1-yl)- (9CI) (CA INDEX NAME)
 FS STEREOSEARCH
 MF C35 H33 N5 O8
 SR CA
 LC STN Files: CA, CAPLUS

Absolute stereochemistry.

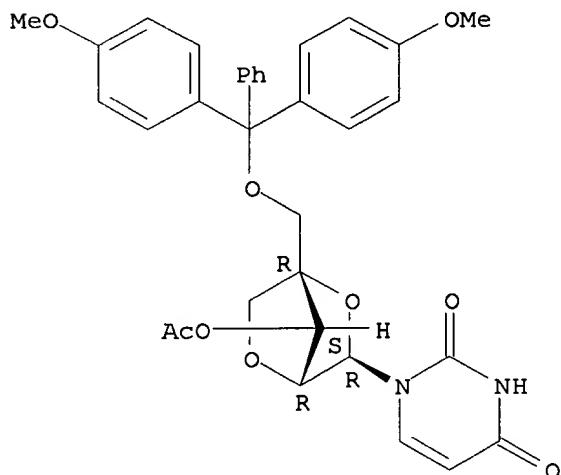


1 REFERENCES IN FILE CA (1967 TO DATE)
 1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 128:61733

L63 ANSWER 13 OF 16 REGISTRY COPYRIGHT 2000 ACS
 RN 200435-94-5 REGISTRY
 CN 2,4(1H,3H)-Pyrimidinedione, 1-[3-O-acetyl-2,5-anhydro-4-C-[bis(4-methoxyphenyl)phenylmethoxy]methyl]-.alpha.-L-lyxofuranosyl- (9CI) (CA INDEX NAME)
 FS STEREOSEARCH
 MF C33 H32 N2 O9
 SR CA
 LC STN Files: CA, CAPLUS

Absolute stereochemistry.



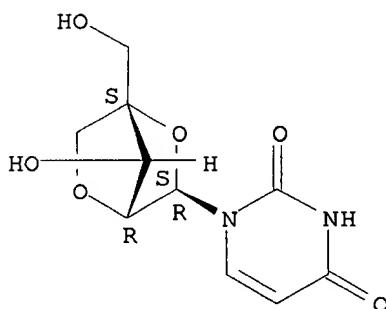
1 REFERENCES IN FILE CA (1967 TO DATE)
 1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 128:61733

L63 ANSWER 14 OF 16 REGISTRY COPYRIGHT 2000 ACS
 RN 200435-92-3 REGISTRY
 CN 2,4(1H,3H)-Pyrimidinedione, 1-[2,5-anhydro-4-C-(hydroxymethyl)-.alpha.-L-

lyxofuranosyl]- (9CI) (CA INDEX NAME)
 FS STEREOSEARCH
 MF C10 H12 N2 O6
 SR CA
 LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry. Rotation (+).

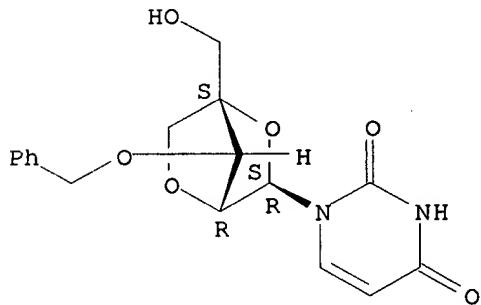


4 REFERENCES IN FILE CA (1967 TO DATE)
 4 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 130:252609
 REFERENCE 2: 129:245421
 REFERENCE 3: 128:308697
 REFERENCE 4: 128:61733

L63 ANSWER 15 OF 16 REGISTRY COPYRIGHT 2000 ACS
 RN 200435-91-2 REGISTRY
 CN 2,4(1H,3H)-Pyrimidinedione, 1-[2,5-anhydro-4-C-(hydroxymethyl)-3-O-(phenylmethyl)-.alpha.-L-lyxofuranosyl]- (9CI) (CA INDEX NAME)
 FS STEREOSEARCH
 MF C17 H18 N2 O6
 SR CA
 LC STN Files: CA, CAPLUS

Absolute stereochemistry. Rotation (+).



2 REFERENCES IN FILE CA (1967 TO DATE)
 2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 129:245421

REFERENCE 2: 128:61733

L63 ANSWER 16 OF 16 REGISTRY COPYRIGHT 2000 ACS
 RN 195705-32-9 REGISTRY
 CN 2,4(1H,3H)-Pyrimidinedione, 1-[2,5-anhydro-4-C-[bis(4-

methoxyphenyl)phenylmethoxy]methyl]-.alpha.-L-lyxofuranosyl]- (9CI) (CA
INDEX NAME)

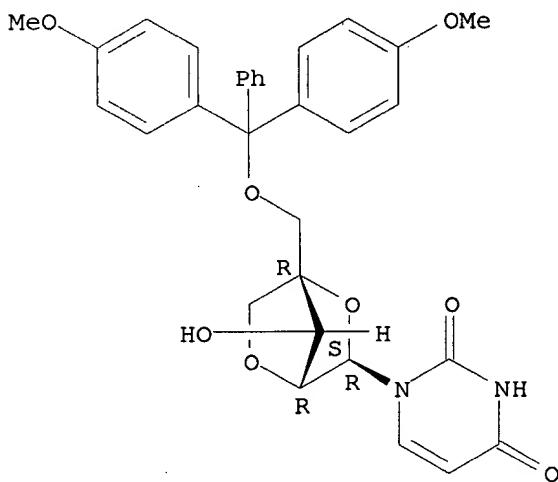
FS STEREOSEARCH

MF C31 H30 N2 O8

SR CA

LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry. Rotation (+).



6 REFERENCES IN FILE CA (1967 TO DATE)

6 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 130:252609
REFERENCE 2: 129:245421
REFERENCE 3: 129:216849
REFERENCE 4: 128:308697
REFERENCE 5: 128:61733
REFERENCE 6: 127:248347

=> d sca

L65 82 ANSWERS REGISTRY COPYRIGHT 2000 ACS

IN DNA, d(G-C-G-(2'-O,4'-C-methylene)rU-(2'-O,4'-C-methylene)rU-(2'-O,4'-C-methylene)rU-(2'-O,4'-C-methylene)rU-G-C-T) (9CI)

SQL 12

MF Unspecified

CI MAN

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

*** USE 'SQD' OR 'SQIDE' FORMATS TO DISPLAY SEQUENCE ***

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):10

L65 82 ANSWERS REGISTRY COPYRIGHT 2000 ACS

IN DNA, d(A-G-C-A-A-A-A-C-G-C), complex with DNA d(G-C-G-(3'-O,4'-C-methylene)rU-(2'.fwdarw.5')-(3'-O,4'-C-methylene)rU-(2'.fwdarw.5')-T-T-T-T-G-C-T) (1:1) (9CI)

SQL 24,12,12

FyI: from
applicants.
ref 1-8 -
Structurally unsearchable

MF Unspecified
CI MAN

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
*** USE 'SQD' OR 'SQIDE' FORMATS TO DISPLAY SEQUENCE ***

L65 82 ANSWERS REGISTRY COPYRIGHT 2000 ACS
IN DNA, d(G-C-G-(3'-O, 4'-C-methylene)m5rU-(2'.fwdarw.5')-(3'-O, 4'-C-methylene)m5rU-(2'.fwdarw.5')-T-T-T-T-G-C-T), complex with RNA (A-G-C-A-A-A-A-A-C-G-C) (1:1) (9CI)
SQL 24,12,12
MF Unspecified
CI MAN

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
*** USE 'SQD' OR 'SQIDE' FORMATS TO DISPLAY SEQUENCE ***

L65 82 ANSWERS REGISTRY COPYRIGHT 2000 ACS
IN DNA, d(G-C-G-T-T-(3'-O, 4'-C-methylene)rU-(2'.fwdarw.5')-(3'-O, 4'-C-methylene)rU-(2'.fwdarw.5')-T-T-G-C-T), complex with RNA (A-G-C-A-A-A-A-A-C-G-C) (1:1) (9CI)
SQL 24,12,12
MF Unspecified
CI MAN

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
*** USE 'SQD' OR 'SQIDE' FORMATS TO DISPLAY SEQUENCE ***

L65 82 ANSWERS REGISTRY COPYRIGHT 2000 ACS
IN DNA, d(A-G-C-A-A-A-A-A-C-G-C), complex with DNA d(G-C-G-(3'-O, 4'-C-methylene)rU-(2'.fwdarw.5')-T-(3'-O, 4'-C-methylene)rU-(2'.fwdarw.5')-T-(3'-O, 4'-C-methylene)rU-(2'.fwdarw.5')-T-G-C-T) (1:1) (9CI)
SQL 24,12,12
MF Unspecified
CI MAN

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
*** USE 'SQD' OR 'SQIDE' FORMATS TO DISPLAY SEQUENCE ***

L65 82 ANSWERS REGISTRY COPYRIGHT 2000 ACS
IN DNA, d(G-C-G-T-T-T-T-(2'-O, 4'-C-methylene)rU-G-C-T), complex with RNA (A-G-C-A-A-A-A-A-C-G-C) (1:1) (9CI)
SQL 24,12,12
MF Unspecified
CI MAN

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
*** USE 'SQD' OR 'SQIDE' FORMATS TO DISPLAY SEQUENCE ***

L65 82 ANSWERS REGISTRY COPYRIGHT 2000 ACS
IN DNA, d(A-G-C-A-A-A-A-A-C-G-C), complex with DNA d(G-C-G-T-T-T-T-(3'-O, 4'-C-methylene)m5rU-(2'.fwdarw.5')-(3'-O, 4'-C-methylene)m5rU-(2'.fwdarw.5')-G-C-T) (1:1) (9CI)
SQL 24,12,12
MF Unspecified
CI MAN

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
*** USE 'SQD' OR 'SQIDE' FORMATS TO DISPLAY SEQUENCE ***

L65 82 ANSWERS REGISTRY COPYRIGHT 2000 ACS
IN DNA, d(A-G-C-A-A-A-A-A-C-G-C), complex with DNA d(G-C-G-T-T-T-T-(2'-O, 4'-C-methylene)rU-G-C-T) (1:1) (9CI)
SQL 24,12,12
MF Unspecified
CI MAN

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
 *** USE 'SQD' OR 'SQIDE' FORMATS TO DISPLAY SEQUENCE ***

L65 82 ANSWERS REGISTRY COPYRIGHT 2000 ACS
 IN DNA, d(C-G-A-T-C-T-C-T-C-T-C-T-C-T-A-G-C), complex with DNA
 $d(G-C-T-A-A-A-A-G-A-G-A-G-A-G-A-T-C-G)$ and DNA $d(T-T-T-T-C-T-[1'-de(6-amino-9H-purin-9-yl)-2'-O, 4'-C-methylene-1'-(2-phenyl-5-oxazolyl)]rA-T-C-T-C-T-C-T)$ (1:1:1) (9CI)
 SQL 57,21,21,15
 MF Unspecified
 CI MAN

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
 *** USE 'SQD' OR 'SQIDE' FORMATS TO DISPLAY SEQUENCE ***

L65 82 ANSWERS REGISTRY COPYRIGHT 2000 ACS
 IN DNA, $d(G-C-G-T-T-T-(2'-O, 4'-C-methylene)rU-T-T-G-C-T)$ (9CI)
 SQL 12
 MF Unspecified
 CI MAN

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
 *** USE 'SQD' OR 'SQIDE' FORMATS TO DISPLAY SEQUENCE ***

L65 82 ANSWERS REGISTRY COPYRIGHT 2000 ACS
 IN DNA, $d(G-C-G-T-T-T-(3'-O, 4'-C-methylene)rU-(2'.fwdarw.5')-(3'-O, 4'-C-methylene)rU-(2'.fwdarw.5')-G-C-T)$ (9CI)
 SQL 12
 MF Unspecified
 CI MAN

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
 *** USE 'SQD' OR 'SQIDE' FORMATS TO DISPLAY SEQUENCE ***

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

=> d bib abs hitrn tot

L66 ANSWER 1 OF 9 HCPLUS COPYRIGHT 2000 ACS
 AN 2000:125446 HCPLUS
 DN 132:293971
 TI Oligonucleotides containing novel 4'-C- or 3'-C-(aminoalkyl)-branched thymidines
 AU Pfundheller, Henrik M.; Bryld, Torsten; Olsen, Carl E.; Wengel, Jesper
 CS Department of Chemistry, University of Southern Denmark, Odense
~~University, Odense M, DK-5230, Den.~~
 SO Helv. Chim. Acta (2000), 83(1), 128-151
 CODEN: HCACAV; ISSN: 0018-019X
 PB Verlag Helvetica Chimica Acta
 DT Journal
 LA English
 AB The synthesis of four novel 3'-C-branched and 4'-C-branched nucleosides and their transformation into the corresponding 3'-O-phosphoramidite building blocks for automated oligonucleotide synthesis is reported. The 4'-C-branched key intermediate 11 was synthesized by a convergent strategy and converted to its 2'-O-Me and 2'-deoxy-2'-fluoro derivs., leading to the prepn. of novel oligonucleotide analogs contg. 4'-C-(aminomethyl)-2'-O-Me monomer X and 4'-C-(aminomethyl)-2'-deoxy-2'-fluoro monomer Y. In general, increased binding affinity towards complementary single-stranded DNA and RNA was obtained with these analogs compared to the unmodified refs. The presence of monomer X or monomer Y in a 2'-O-methyl-RNA oligonucleotide had a neg. effect on the binding affinity of the 2'-O-methyl-RNA oligonucleotide towards DNA and RNA. Starting from the

3'-C-allyl deriv. 28, 3'-C-(3-aminopropyl)-protected nucleosides and 3'-O-phosphoramidite derivs. were synthesized, leading to novel oligonucleotide analogs contg. 3'-C-(3-aminopropyl)thymidine monomer Z or the corresponding 3'-C-(3-aminopropyl)-2'-O,5-dimethyluridine monomer W. Incorporation of the 2'-deoxy monomer Z induced no significant changes in the binding affinity towards DNA but decreased binding affinity towards RNA, while the 2'-O-Me monomer Z induced decreased binding affinity towards DNA as well as RNA complements.

IT 206055-62-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. of oligonucleotides contg. or 4'-C- or 3'-C-(aminoalkyl)-branched thymidines)

RE.CNT 62

RE

- (1) Bannwarth, W; Helv Chim Acta 1987, V70, P175 HCPLUS
- (3) Bevierre, M; Bioorg Med Chem Lett 1994, V4, P237 HCPLUS
- (4) Buff, R; Bioorg Med Chem Lett 1998, V8, P521 HCPLUS
- (5) Cox, D; J Org Chem 1984, V49, P3216 HCPLUS
- (6) Cummins, L; Nucleic Acids Res 1995, V23, P2019 HCPLUS

ALL CITATIONS AVAILABLE IN THE RE FORMAT

L66 ANSWER 2 OF 9 HCPLUS COPYRIGHT 2000 ACS

AN 1999:448625 HCPLUS

DN 131:157908

TI A new synthetic approach towards .alpha.- and .beta.-LNA (locked nucleic acids)

AU Nielsen, Poul; Wengel, Jesper

CS Department of Chemistry, Odense University, Odense M, DK-5230, Den.

SO Nucleosides Nucleotides (1999), 18(4 & 5), 701-702

CODEN: NUNUD5; ISSN: 0732-8311

PB Marcel Dekker, Inc.

DT Journal

LA English

AB A bicyclo[2.2.1] Ph thioglycoside was efficiently synthesized and introduced as the key synthon in a novel method for convergent synthesis of .beta.-LNA-nucleosides as well as their .alpha.-configured isomers. An acid-induced ring-opening reaction on the corresponding bicyclo[2.2.1] Me furanoside is also described.

IT 206055-62-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. of bicyclo phenylthioglycoside as synthons in convergent synthesis of .alpha.- and .beta.-locked nucleic acids)

IT 206055-67-6P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of bicyclo phenylthioglycoside as synthons in convergent synthesis of .alpha.- and .beta.-locked nucleic acids)

RE.CNT 5

RE

(1) Koshkin, A; Tetrahedron 1998, V54, P3607 HCPLUS

(2) Koshkin, A; Tetrahedron Lett 1998, V39, P4381 HCPLUS

(3) Obika, S; Tetrahedron Lett 1997, V38, P8735 HCPLUS

(4) Singh, S; Chem Commun 1998, P455 HCPLUS

(5) Waga, T; Biosci Biotech Biochem 1993, V57, P1433 HCPLUS

L66 ANSWER 3 OF 9 HCPLUS COPYRIGHT 2000 ACS

AN 1999:447127 HCPLUS

DN 131:299644

TI LNA stereoisomers: xylo-LNA (.beta.-D-xylo configured locked nucleic acid) and .alpha.-L-LNA (.alpha.-L-ribo configured locked nucleic acid)

AU Rajwanshi, Vivek K.; Hakansson, Anders E.; Dahl, Britta M.; Wengel, Jesper

CS Department of Chemistry, Center for Synthetic Bioorganic Chemistry, University of Copenhagen, Copenhagen, Den.

SO Chem. Commun. (Cambridge) (1999), (15), 1395-1396

CODEN: CHCOFS; ISSN: 1359-7345

PB Royal Society of Chemistry

DT Journal

LA English.
 AB Synthesis of xylo-LNA contg. one 2'-O,4'-C-methylene-.beta.-D-xylofuranosyl thymine nucleotide monomer and .alpha.-L-LNAs contg. one or four 2'-O,4'-C-methylene-.alpha.-L-ribofuranosyl thymine nucleotide monomer(s) has been accomplished using phosphoramidite chem. with pyridine hydrochloride as activator; oligothymidylate .alpha.-L-LNA displays strongly enhanced affinity towards complementary RNA.

IT 230631-22-8
 RL: RCT (Reactant)
 (prepn. of .beta.-D-xylo and .alpha.-L-ribo configured locked nucleic acid duplexes)

IT 245366-55-6P 245366-56-7P 245366-57-8P
 245366-58-9P 245366-59-0P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of .beta.-D-xylo and .alpha.-L-ribo configured locked nucleic acid duplexes)

RE.CNT 18

RE
 (1) Caruthers, M; Acc Chem Res 1991, V24, P278 HCPLUS
 (2) Greiner, B; Helv Chim Acta 1998, V81, P1528 HCPLUS
 (3) Gryaznov, S; Nucleic Acids Res 1992, V20, P1879 HCPLUS
 (5) Koshkin, A; J Am Chem Soc 1998, V120, P13252 HCPLUS
 (6) Koshkin, A; Tetrahedron 1998, V54, P3607 HCPLUS
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L66 ANSWER 4 OF 9 HCPLUS COPYRIGHT 2000 ACS
 AN 1999:333919 HCPLUS

DN 131:102484

TI Synthesis and restricted furanose conformations of three novel bicyclic thymine nucleosides: a xylo-LNA nucleoside, a 3'-O,5'-C-methylene-linked nucleoside, and a 2'-O,5'-C-methylene-linked nucleoside
 AU Rajwanshi, Vivek K.; Kumar, Ravindra; Kofod-Hansen, Mikael; Wengel, Jesper
 CS Department of Chemistry, Center for Synthetic Bioorganic Chemistry,
 University of Copenhagen, Copenhagen, DK-2100, Den.

SO J. Chem. Soc., Perkin Trans. 1 (1999), (11), 1407-1414
 CODEN: JCPRB4; ISSN: 0300-922X

PB Royal Society of Chemistry

DT Journal

LA English

AB The xylo-LNA nucleoside 1-(2-O,4-C-methylene-.beta.-D-xylofuranosyl)thymine and the 2'-O,5'-C-methylene linked nucleoside 1-(2,6-anhydro-.beta.-D-altrofuranosyl)thymine were obtained in overall yields of 13% (8 steps) and 31% (7 steps) starting from furanose derivs. In the synthesis of 3'-O,5'-C-methylene-linked nucleoside derivs., cyclization by intramol. attack from the 6-hydroxy group on the 3-keto functionality to give C-3-hemiketal furanose and its subsequent transformation into the nucleoside proved very efficient. It was, however, impossible to isolate the debenzylated 2'-hydroxy, 2'-O-Me and 2'-O-tert-butyldimethylsilyl derivs. in anal. pure form. Soln.-phase conformational anal. showed the some bicyclic nucleosides to exist predominantly in an N-type furanose conformation and some bicyclic nucleotides to adopt an S-type conformation.

IT 230631-21-7P
 RL: PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
 (prepn. and restricted furanose conformations of three novel bicyclic thymine nucleosides)

IT 230631-22-8P
 RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
 (prepn. and restricted furanose conformations of three novel bicyclic thymine nucleosides)

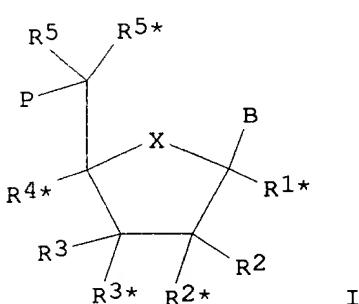
RE.CNT 35

RE
 (1) Altmann, K; Tetrahedron Lett 1994, V35, P2331 HCPLUS
 (2) Altona, C; J Am Chem Soc 1972, V94, P8205 HCPLUS
 (3) Altona, C; J Am Chem Soc 1973, V95, P2333 HCPLUS

(4) Caruthers, M; Acc Chem Res 1991, V24, P278 HCAPLUS
 (5) Christensen, N; J Am Chem Soc 1998, V120, P5458 HCAPLUS
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L66 ANSWER 5 OF 9 HCAPLUS COPYRIGHT 2000 ACS
 AN 1999:216926 HCAPLUS
 DN 130:252609
 TI Preparation of locked nucleoside analogs-containing oligodeoxyribonucleotide duplexes as substrates for nucleic acid polymerases
 IN Wengel, Jesper; Nielsen, Poul
 PA Exiqon A/S, Den
 SO PCT Int. Appl., 269 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9914226	A2	19990325	WO 1998-DK393	19980914
	WO 9914226	A3	19990805		
	W: AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	AU 9890633	A1	19990405	AU 1998-90633	19980914
	EP 1015469	A2	20000705	EP 1998-942516	19980914
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
PRAI	DK 1997-1054		19970912		
	DK 1997-1492		19971219		
	DK 1998-61		19980116		
	DK 1998-286		19980303		
	DK 1998-585		19980429		
	US 1998-88309		19980605		
	DK 1998-750		19980608		
	DK 1998-982		19980728		
	WO 1998-DK393		19980914		
OS	MARPAT	130:252609			
GI					



AB Bicyclic and tricyclic nucleoside and nucleotide analogs were prep'd. as well as oligodeoxyribonucleotides comprising such elements I (B is selected from hydrogen, hydroxy, alkoxy, alkyl, acyloxy, nucleobases, DNA intercalators; P designates the radical position for an internucleoside linkage to a succeeding monomer, or a 5'-terminal group, such

internucleoside linkage or 5'-terminal group optionally including the substituent R5; X is selected from O, S, substituted N, substituted C; R1, R1*, R2, R2*, R3, R3*, R4*, R5, R5*, are biradical(s), independently selected from hydrogen, alkyl, alkenyl, alkynyl, hydroxy, alkoxy, alkenyloxy, carboxy, alkoxy carbonyl, alkyl carbonyl, formyl, aryl, aryloxy carbonyl, aryloxy, aryl carbonyl, heteroaryl, carbamido, alkanoyloxy, sulfono, alkylsulfonyloxy, nitro, azido, sulphanyl, alkylthio, halogen, DNA intercalators). Thus, (1S,5R,6R,8R)-5-(2-cyanoethoxy(diisopropylamino)phosphinoxy)-6-(4,4'-dimethoxytrityloxymethyl)-8-(thymine-1-yl)-2,7-dioxabicyclo[3.3.0]nonane was prep'd. and incorporated into oligodeoxyribonucleotides. The nucleotide analogs, LNAs (Locked Nucleoside Analogs), are able to provide valuable improvements to oligonucleotides with respect to affinity and specificity towards complementary RNA and DNA oligomers. The novel type of LNA modified oligonucleotides, as well as the LNAs as such, are useful in a wide range of diagnostic applications as well as therapeutic applications. Among these can be mentioned antisense applications, PCR applications, strand displacement oligomers, as substrates for nucleic acid polymerases, as nucleotide based drugs, etc.

IT 219854-50-9P 219854-53-2P 221229-74-9P
 RL: BPR (Biological process); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
 (prepn. of locked nucleoside analogs-contg. oligodeoxyribonucleotide duplexes as substrates for nucleic acid polymerases)

IT 195705-32-9P 200435-92-3P 206055-62-1P
 206055-63-2P 206055-64-3P 206055-65-4P
 206055-66-5P 206055-67-6P 206055-68-7P
 206055-69-8P 206055-70-1P 206055-71-2P
 206055-72-3P 206055-73-4P 206055-74-5P
 206055-75-6P 206055-76-7P 206055-77-8P
 206055-78-9P 206055-79-0P 206055-80-3P
 206055-81-4P 206055-82-5P 209968-94-5P
 221229-58-9P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of locked nucleoside analogs-contg. oligodeoxyribonucleotide duplexes as substrates for nucleic acid polymerases)

L66 ANSWER 6 OF 9 HCPLUS COPYRIGHT 2000 ACS
 AN 1998:744561 HCPLUS
 DN 130:125331
 TI Synthesis and chemoselective activation of phenyl 3,5-di-O-benzyl-2-O,4-C-methylene-1-thio-.beta.-D-ribofuranoside: a key synthon towards .alpha.-LNA
 AU Nielsen, Poul; Wengel, Jesper
 CS Department of Chemistry, Odense University, Odense, DK-5230, Den.
 SO Chem. Commun. (Cambridge) (1998), (23), 2645-2646
 CODEN: CHCOFS; ISSN: 1359-7345
 PB Royal Society of Chemistry
 DT Journal
 LA English
 AB A bicyclic thiofuranoside (Ph 3,5-di-O-benzyl-2-O,4-C-methylene-.beta.-D-ribofuranoside) was efficiently synthesized and introduced as the key synthon in a method for convergent synthesis of .alpha.- and .beta.-LNA nucleosides; acid-induced ring-opening reactions of the corresponding bicyclic Me furanoside are also described.

IT 219854-50-9P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
 (prepn. and chemoselective activation of Ph 3,5-di-O-benzyl-2-O,4-C-methylene-1-thio-.beta.-D-ribofuranoside, a key synthon towards .alpha.-LNA)

IT 206055-67-6P 219854-53-2P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. and chemoselective activation of Ph 3,5-di-O-benzyl-2-O,4-C-methylene-1-thio-.beta.-D-ribofuranoside, a key synthon towards .alpha.-LNA)

RE.CNT 20

RE

(1) Chanteloup, L; Tetrahedron Lett 1992, V33, P5347 HCPLUS
 (3) Fugedi, P; Glycoconjugate J 1987, V4, P97 HCPLUS
 (4) Gagnor, C; Nucleic Acids Res 1987, V15, P10419 HCPLUS
 (5) Herdewijn, P; Liebigs Ann 1996, P1337 HCPLUS
 (7) Kahne, D; J Am Chem Soc 1989, V111, P6881 HCPLUS

ALL CITATIONS AVAILABLE IN THE RE FORMAT

L66 ANSWER 7 OF 9 HCPLUS COPYRIGHT 2000 ACS
 AN 1998:355933 HCPLUS
 DN 129:109295
 TI Novel convenient syntheses of LNA [2.2.1]bicyclo nucleosides
 AU Koshkin, Alexei A.; Rajwanshi, Vivek K.; Wengel, Jesper
 CS Dep. Chem., Chemical Lab. II, Univ. Copenhagen, Copenhagen, DK-2100, Den.
 SO Tetrahedron Lett. (1998), 39(24), 4381-4384
 CODEN: TELEAY; ISSN: 0040-4039
 PB Elsevier Science Ltd.
 DT Journal
 LA English
 AB LNA (Locked Nucleic Acids) is a novel oligonucleotide analog contg. [2.2.1]bicyclo nucleoside monomers. A novel and significantly improved method for convergent synthesis of LNA [2.2.1]bicyclo nucleosides using a 4-C-tosyloxymethyl-1,2-di-O-acetyl furanose as a key synthon is described. In addn., an alternative, robust linear approach allowing selective formation of the desired [2.2.1]bicyclo LNA nucleosides via a tricyclic nucleoside intermediate is introduced.
 IT 206055-62-1P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
 (novel convenient prepn. of LNA [2.2.1]bicyclo nucleosides)
 IT 206055-67-6P 209968-94-5P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (novel convenient prepn. of LNA [2.2.1]bicyclo nucleosides)

L66 ANSWER 8 OF 9 HCPLUS COPYRIGHT 2000 ACS
 AN 1998:213091 HCPLUS
 DN 128:308697
 TI LNA (locked nucleic acids): synthesis of the adenine, cytosine, guanine, 5-methylcytosine, thymine and uracil bicyclonucleoside monomers, oligomerization, and unprecedented nucleic acid recognition
 AU Koshkin, Alexei A.; Singh, Sanjay K.; Nielsen, Poul; Rajwanshi, Vivek K.; Kumar, Ravindra; Meldgaard, Michael; Olsen, Carl Erik; Wengel, Jesper
 CS Department of Chemistry, University of Copenhagen, Copenhagen, DK-2100, Den.
 SO Tetrahedron (1998), 54(14), 3607-3630
 CODEN: TETRAB; ISSN: 0040-4020
 PB Elsevier Science Ltd.
 DT Journal
 LA English
 AB LNA (Locked Nucleic Acids), consisting of 2'-O,4'-C-methylene bicyclonucleoside monomers, is efficiently synthesized and its nucleic acid recognition potential evaluated for six different nucleobases, namely adenine, cytosine, guanine, 5-methylcytosine, thymine and uracil. Unprecedented increases (+3 to +8 .degree.C per modification) in the thermal stability of duplexes towards both DNA and RNA were obtained when evaluating mixed sequences of partly or fully modified LNA. Studies of mis-matched sequences show that LNA obey the Watson-Crick base pairing rules with generally improved selectivities compared to the corresponding unmodified ref. strands.
 IT 195705-32-9P 200435-92-3P 206055-62-1P
 206055-63-2P 206055-64-3P 206055-65-4P
 206055-66-5P 206055-67-6P 206055-68-7P
 206055-69-8P 206055-70-1P 206055-71-2P
 206055-72-3P 206055-73-4P 206055-74-5P
 206055-75-6P 206055-76-7P 206055-77-8P
 206055-78-9P 206055-79-0P 206055-80-3P

206055-81-4P 206055-82-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
 (synthesis and thermal stability of locked nucleic acids (LNA)
 duplexes)

L66 ANSWER 9 OF 9 HCAPLUS COPYRIGHT 2000 ACS
 AN 1998:164474 HCAPLUS
 DN 129:1792
 TI LNA (locked nucleic acids): synthesis and high-affinity nucleic acid
 recognition
 AU Singh, Sanjay K.; Nielsen, Poul; Koshkin, Alexei A.; Wengel, Jesper
 CS Dep. Chem., Univ. Copenhagen, Copenhagen, DK-2100, Den.
 SO *Chem. Commun. (Cambridge) (1998), (4), 455-456*
 CODEN: CHCOFS; ISSN: 1359-7345
 PB Royal Society of Chemistry
 DT Journal
 LA English
 AB A novel class of nucleic acid analogs, termed LNA (locked nucleic acids),
 is introduced. Following the Watson-Crick base pairing rules, LNA forms
 duplexes with complementary DNA and RNA with remarkably increased thermal
 stabilities and generally improved selectivities.
 IT 206055-62-1P 206055-67-6P 206055-75-6P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of locked nucleic acids)
 IT 207350-34-3 207350-35-4
 RL: PEP (Physical, engineering or chemical process); PRP (Properties);
 PROC (Process)
 (thermal stabilities of LNA duplexes formed with complementary DNA and
 RNA)
 IT 207131-15-5P 207131-16-6P 207131-17-7P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
 (thermal stabilities of LNA duplexes formed with complementary DNA and
 RNA)

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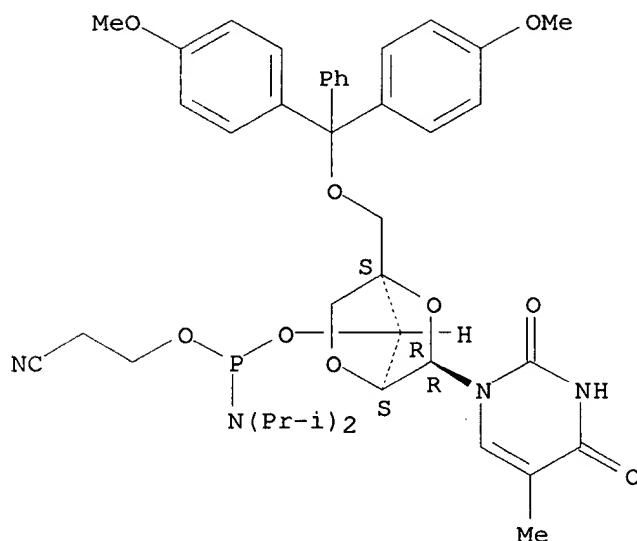
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Structure search limits have been increased. See HELP SLIMIT
 for details.

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L67 ANSWER 1 OF 40 REGISTRY COPYRIGHT 2000 ACS
 RN 245366-59-0 REGISTRY
 CN 2,4(1H,3H)-Pyrimidinedione, 1-[2,5-anhydro-4-C-[[bis(4-
 methoxyphenyl)phenylmethoxy]methyl]-3-O-[[bis(1-methylethyl)amino](2-
 cyanoethoxy)phosphino]-.beta.-D-lyxofuranosyl]-5-methyl- (9CI) (CA INDEX
 NAME)
 FS STEREOSEARCH
 MF C41 H49 N4 O9 P
 SR CA
 LC STN Files: CA, CAPLUS

Absolute stereochemistry.

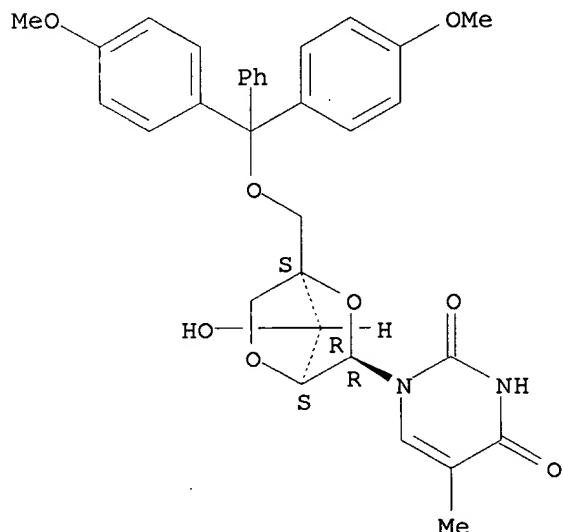


1 REFERENCES IN FILE CA (1967 TO DATE)
 1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 131:299644

L67 ANSWER 2 OF 40 REGISTRY COPYRIGHT 2000 ACS
 RN 245366-58-9 REGISTRY
 CN 2,4(1H,3H)-Pyrimidinedione, 1-[2,5-anhydro-4-C-[bis(4-methoxyphenyl)phenylmethoxy]methyl]-.beta.-D-lyxofuranosyl]-5-methyl- (9CI) (CA INDEX NAME)
 FS STEREOSEARCH
 MF C32 H32 N2 O8
 SR CA
 LC STN Files: CA, CAPLUS

Absolute stereochemistry.

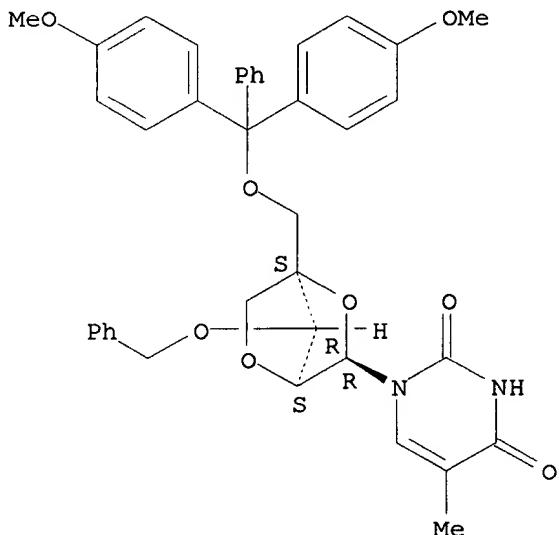


1 REFERENCES IN FILE CA (1967 TO DATE)
 1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 131:299644

L67 ANSWER 3 OF 40 REGISTRY COPYRIGHT 2000 ACS
 RN **245366-57-8** REGISTRY
 CN 2,4(1H,3H)-Pyrimidinedione, 1-[2,5-anhydro-4-C-[bis(4-methoxyphenyl)phenylmethoxy]methyl]-3-O-(phenylmethyl)-.beta.-D-lyxofuranosyl]-5-methyl- (9CI) (CA INDEX NAME)
 FS STEREOSEARCH
 MF C39 H38 N2 O8
 SR CA
 LC STN Files: CA, CAPLUS

Absolute stereochemistry.

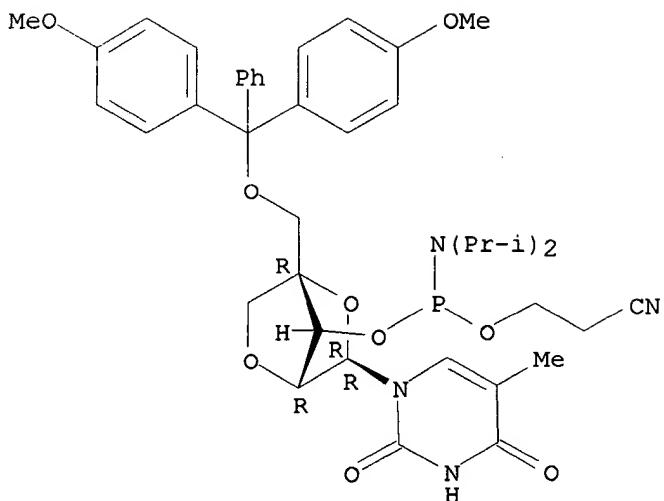


1 REFERENCES IN FILE CA (1967 TO DATE)
 1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 131:299644

L67 ANSWER 4 OF 40 REGISTRY COPYRIGHT 2000 ACS
 RN **245366-56-7** REGISTRY
 CN 2,4(1H,3H)-Pyrimidinedione, 1-[2,5-anhydro-4-C-[bis(4-methoxyphenyl)phenylmethoxy]methyl]-3-O-[(bis(1-methylethyl)amino)(2-cyanoethoxy)phosphino]-.alpha.-L-arabinofuranosyl]-5-methyl- (9CI) (CA INDEX NAME)
 FS STEREOSEARCH
 MF C41 H49 N4 O9 P
 SR CA
 LC STN Files: CA, CAPLUS

Absolute stereochemistry.



1 REFERENCES IN FILE CA (1967 TO DATE)
 1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 131:299644

L67 ANSWER 5 OF 40 REGISTRY COPYRIGHT 2000 ACS

RN 245366-55-6 REGISTRY

CN 2,4(1H,3H)-Pyrimidinedione, 1-[2,5-anhydro-4-C-[bis(4-methoxyphenyl)phenylmethoxy]methyl]-.alpha.-L-arabinofuranosyl]-5-methyl- (9CI) (CA INDEX NAME)

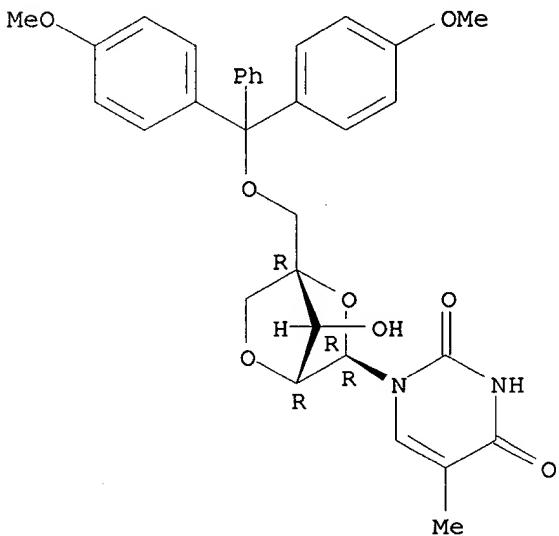
FS STEREOSEARCH

MF C32 H32 N2 O8

SR CA

LC STN Files: CA, CAPLUS

Absolute stereochemistry.

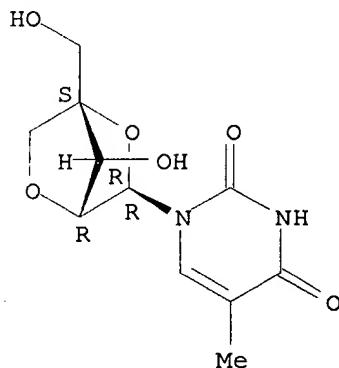


1 REFERENCES IN FILE CA (1967 TO DATE)
 1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 131:299644

L67 ANSWER 6 OF 40 REGISTRY COPYRIGHT 2000 ACS
 RN 230631-22-8 REGISTRY
 CN 2,4(1H,3H)-Pyrimidinedione, 1-[2,5-anhydro-4-C-(hydroxymethyl)-.alpha.-L-arabinofuranosyl]-5-methyl- (9CI) (CA INDEX NAME)
 FS STEREOSEARCH
 MF C11 H14 N2 O6
 SR CA
 LC STN Files: CA, CAPLUS

Absolute stereochemistry.



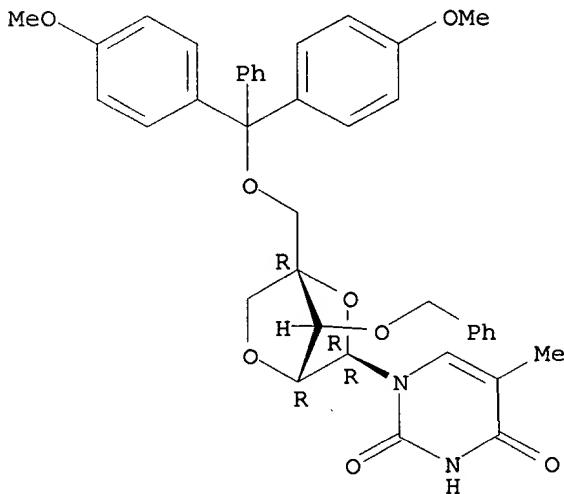
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 2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 131:299644

REFERENCE 2: 131:102484

L67 ANSWER 7 OF 40 REGISTRY COPYRIGHT 2000 ACS
 RN 230631-21-7 REGISTRY
 CN 2,4(1H,3H)-Pyrimidinedione, 1-[2,5-anhydro-4-C-[bis(4-methoxyphenyl)phenylmethoxy]methyl]-3-O-(phenylmethyl)-.alpha.-L-arabinofuranosyl]-5-methyl- (9CI) (CA INDEX NAME)
 FS STEREOSEARCH
 MF C39 H38 N2 O8
 SR CA
 LC STN Files: CA, CAPLUS

Absolute stereochemistry.



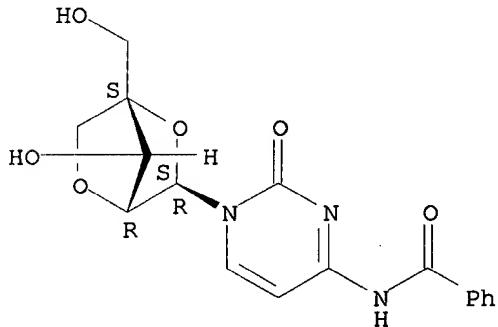
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1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 131:102484

L67 ANSWER 8 OF 40 REGISTRY COPYRIGHT 2000 ACS
 RN **221229-74-9** REGISTRY
 CN Cytidine, N-benzoyl-2'-O,4'-C-methylene- (9CI) (CA INDEX NAME)
 FS STEREOSEARCH
 MF C17 H17 N3 O6
 SR CA
 LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.

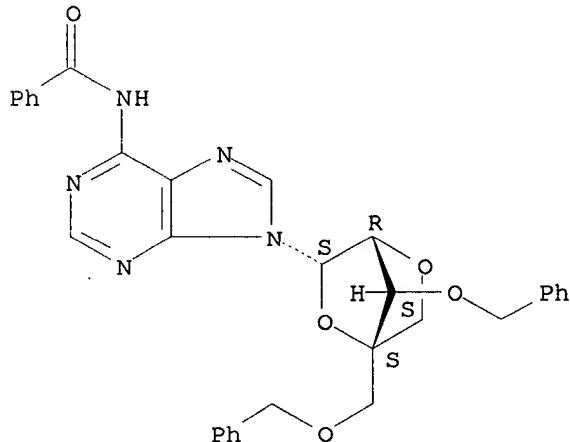


1 REFERENCES IN FILE CA (1967 TO DATE)
 1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 130:252609

L67 ANSWER 9 OF 40 REGISTRY COPYRIGHT 2000 ACS
 RN **221229-58-9** REGISTRY
 CN Benzamide, N-[9-[2,5-anhydro-4-C-[(phenylmethoxy)methyl]-3-O-(phenylmethyl)-.beta.-L-lyxofuranosyl]-9H-purin-6-yl]- (9CI) (CA INDEX NAME)
 FS STEREOSEARCH
 MF C32 H29 N5 O5
 SR CA
 LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.

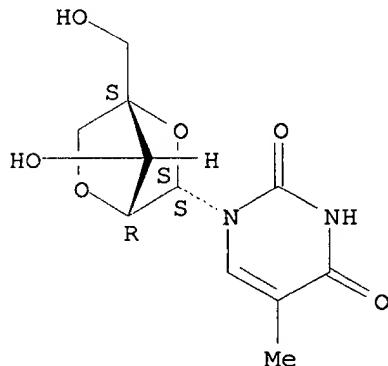


1 REFERENCES IN FILE CA (1967 TO DATE)
 1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 130:252609

L67 ANSWER 10 OF 40 REGISTRY COPYRIGHT 2000 ACS
 RN **219854-53-2** REGISTRY
 CN 2, 4(1H, 3H)-Pyrimidinedione, 1-[2, 5-anhydro-4-C-(hydroxymethyl)-.beta.-L-lyxofuranosyl]-5-methyl- (9CI) (CA INDEX NAME)
 FS STEREOSEARCH
 MF C11 H14 N2 O6
 SR CA
 LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.



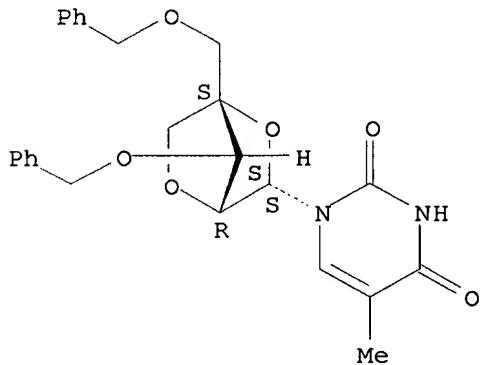
2 REFERENCES IN FILE CA (1967 TO DATE)
 2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 130:252609

REFERENCE 2: 130:125331

L67 ANSWER 11 OF 40 REGISTRY COPYRIGHT 2000 ACS
 RN **219854-50-9** REGISTRY
 CN 2, 4(1H, 3H)-Pyrimidinedione, 1-[2, 5-anhydro-4-C-[(phenylmethoxy)methyl]-3-O-(phenylmethyl)-.beta.-L-lyxofuranosyl]-5-methyl- (9CI) (CA INDEX NAME)
 FS STEREOSEARCH
 MF C25 H26 N2 O6
 SR CA
 LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.



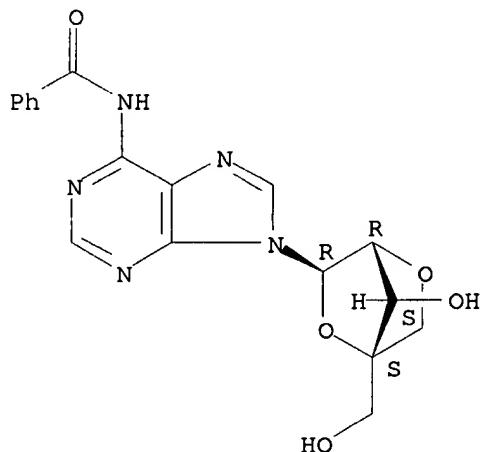
2 REFERENCES IN FILE CA (1967 TO DATE)
 2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 130:252609

REFERENCE 2: 130:125331

L67 ANSWER 12 OF 40 REGISTRY COPYRIGHT 2000 ACS
 RN 209968-94-5 REGISTRY
 CN Adenosine, N-benzoyl-2'-O,4'-C-methylene- (9CI) (CA INDEX NAME)
 FS STEREOSEARCH
 MF C18 H17 N5 O5
 SR CA
 LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.



2 REFERENCES IN FILE CA (1967 TO DATE)
 2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 130:252609

REFERENCE 2: 129:109295

L67 ANSWER 13 OF 40 REGISTRY COPYRIGHT 2000 ACS
 RN 207350-35-4 REGISTRY
 CN RNA, (A-A-A-A-A-A-A-A-A-A-A-A-A-A), complex with 5-methyl-2'-O,4'-C-methyleneuridylyl-(3'.fwdarw.5')-5-methyl-2'-O,4'-C-methyleneuridylyl-(3'.fwdarw.5')-5-methyl-2'-O,4'-C-methyleneuridylyl-(3'.fwdarw.5')-5-methyl-2'-O,4'-C-methyleneuridylyl-(3'.fwdarw.5')-5-methyl-2'-O,4'-C-methyleneuridylyl-(3'.fwdarw.5')-thymidine (1:1) (9CI) (CA INDEX NAME)
 OTHER CA INDEX NAMES:
 CN Thymidine, 5-methyl-2'-O,4'-C-methyleneuridylyl-(3'.fwdarw.5')-5-methyl-2'-O,4'-C-methyleneuridylyl-(3'.fwdarw.5')-5-methyl-2'-O,4'-C-methyleneuridylyl-(3'.fwdarw.5')-5-methyl-2'-O,4'-C-methyleneuridylyl-(3'.fwdarw.5')-5-methyl-2'-O,4'-C-methyleneuridylyl-(3'.fwdarw.5')-, complex with RNA (A-A-A-A-A-A-A-A-A-A-A-A) (1:1) (9CI)
 FS NUCLEIC ACID SEQUENCE; STEREOSEARCH
 MF C140 H169 N70 O82 P13 . C65 H79 N12 O45 P5
 SR CA
 LC STN Files: CA, CAPLUS

CM 1

CRN 207350-33-2
 CMF C65 H79 N12 O45 P5

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

CM 2

CRN 56174-30-2
 CMF C140 H169 N70 O82 P13

CCI MAN

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
 *** USE 'SQD' OR 'SQIDE' FORMATS TO DISPLAY SEQUENCE ***
 1 REFERENCES IN FILE CA (1967 TO DATE)
 1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 129:1792

L67 ANSWER 14 OF 40 REGISTRY COPYRIGHT 2000 ACS

RN 207350-34-3 REGISTRY

CN DNA, d(A-A-A-A-A-A-A-A-A-A-A-A-A-A), complex with 5-methyl-2'-O, 4'-C-methylenuridylyl-(3'.fwdarw.5')-5-methyl-2'-O, 4'-C-methylenuridylyl-(3'.fwdarw.5')-5-methyl-2'-O, 4'-C-methylenuridylyl-(3'.fwdarw.5')-5-methyl-2'-O, 4'-C-methylenuridylyl-(3'.fwdarw.5')-5-methyl-2'-O, 4'-C-methylenuridylyl-(3'.fwdarw.5')-thymidine (1:1) (9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN Thymidine, 5-methyl-2'-O, 4'-C-methylenuridylyl-(3'.fwdarw.5')-5-methyl-2'-O, 4'-C-methylenuridylyl-(3'.fwdarw.5')-5-methyl-2'-O, 4'-C-methylenuridylyl-(3'.fwdarw.5')-5-methyl-2'-O, 4'-C-methylenuridylyl-(3'.fwdarw.5')-5-methyl-2'-O, 4'-C-methylenuridylyl-(3'.fwdarw.5')-, complex with DNA d(A-A-A-A-A-A-A-A-A-A-A-A) (1:1) (9CI)

FS NUCLEIC ACID SEQUENCE; STEREOSEARCH

MF C140 H169 N70 O68 P13 . C65 H79 N12 O45 P5

SR CA

LC STN Files: CA, CAPLUS

CM 1

CRN 207350-33-2

CMF C65 H79 N12 O45 P5

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

CM 2

CRN 103842-30-4

CMF C140 H169 N70 O68 P13

CCI MAN

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

*** USE 'SQD' OR 'SQIDE' FORMATS TO DISPLAY SEQUENCE ***

1 REFERENCES IN FILE CA (1967 TO DATE)

1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 129:1792

L67 ANSWER 15 OF 40 REGISTRY COPYRIGHT 2000 ACS

RN 207131-17-7 REGISTRY

CN Guanosine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-2'-O, 4'-C-methylene-, 3'-[2-cyanoethyl bis(1-methylethyl)phosphoramidite] (9CI) (CA INDEX NAME)

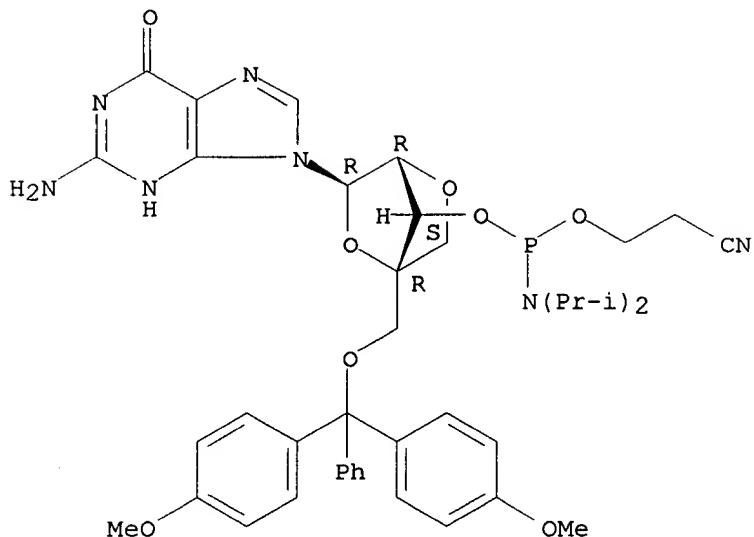
FS STEREOSEARCH

MF C41 H48 N7 O8 P

SR CA

LC STN Files: CA, CAPLUS

Absolute stereochemistry.

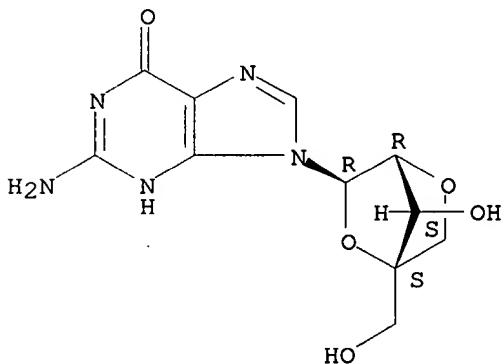


1 REFERENCES IN FILE CA (1967 TO DATE)
 1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 129:1792

L67 ANSWER 16 OF 40 REGISTRY COPYRIGHT 2000 ACS
 RN 207131-16-6 REGISTRY
 CN Guanosine, 2'-O,4'-C-methylene- (9CI) (CA INDEX NAME)
 FS STEREOSEARCH
 MF C11 H13 N5 O5
 SR CA
 LC STN Files: CA, CAPLUS

Absolute stereochemistry.

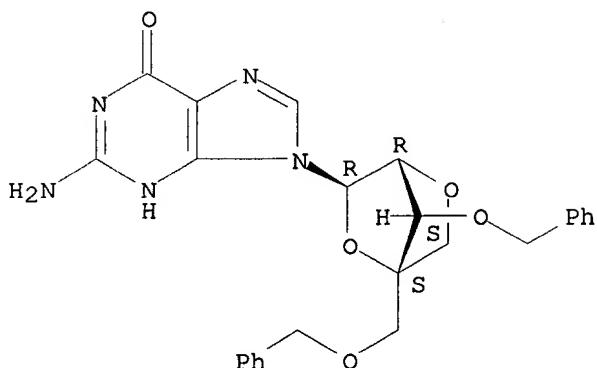


1 REFERENCES IN FILE CA (1967 TO DATE)
 1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 129:1792

L67 ANSWER 17 OF 40 REGISTRY COPYRIGHT 2000 ACS
 RN 207131-15-5 REGISTRY
 CN Guanosine, 2'-O,4'-C-methylene-3',5'-bis-O-(phenylmethyl)- (9CI) (CA INDEX NAME)
 FS STEREOSEARCH
 MF C25 H25 N5 O5
 SR CA
 LC STN Files: CA, CAPLUS

Absolute stereochemistry.

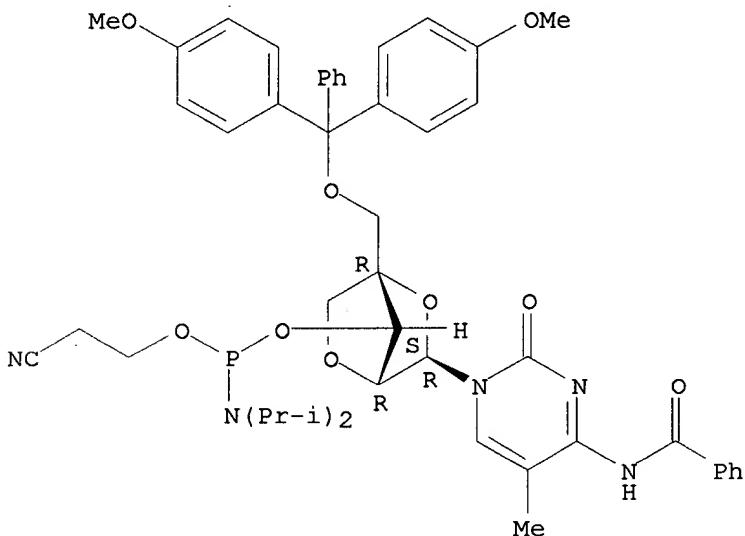


1 REFERENCES IN FILE CA (1967 TO DATE)
 1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 129:1792

L67 ANSWER 18 OF 40 REGISTRY COPYRIGHT 2000 ACS
 RN 206055-82-5 REGISTRY
 CN Cytidine, N-benzoyl-5'-O-[bis(4-methoxyphenyl)phenylmethyl]-5-methyl-2'-O,4'-C-methylene-, 3'-(2-cyanoethyl bis(1-methylethyl)phosphoramidite] (9CI) (CA INDEX NAME)
 FS STEREOSEARCH
 MF C48 H54 N5 O9 P
 SR CA
 LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.



2 REFERENCES IN FILE CA (1967 TO DATE)
 2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

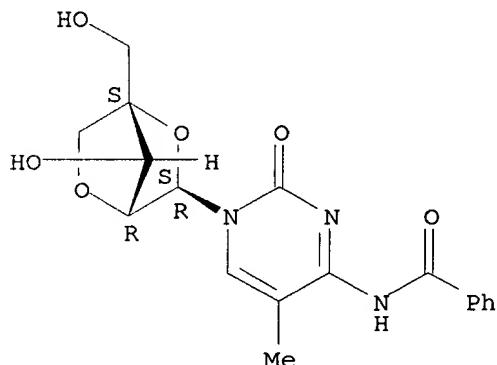
REFERENCE 1: 130:252609

REFERENCE 2: 128:308697

L67 ANSWER 19 OF 40 REGISTRY COPYRIGHT 2000 ACS
 RN 206055-81-4 REGISTRY

CN Cytidine, N-benzoyl-5-methyl-2'-O,4'-C-methylene- (9CI) (CA INDEX NAME)
 FS STEREOSEARCH
 MF C18 H19 N3 O6
 SR CA
 LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.



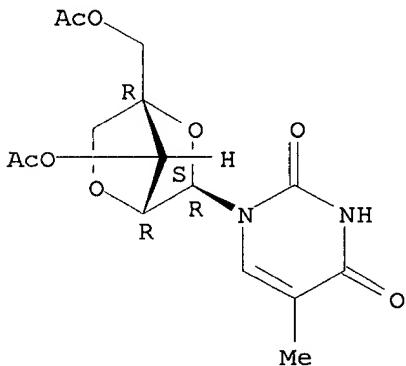
2 REFERENCES IN FILE CA (1967 TO DATE)
 2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 130:252609

REFERENCE 2: 128:308697

L67 ANSWER 20 OF 40 REGISTRY COPYRIGHT 2000 ACS
 RN 206055-80-3 REGISTRY
 CN Uridine, 5-methyl-2'-O,4'-C-methylene-, 3',5'-diacetate (9CI) (CA INDEX NAME)
 FS STEREOSEARCH
 MF C15 H18 N2 O8
 SR CA
 LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.



2 REFERENCES IN FILE CA (1967 TO DATE)
 2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

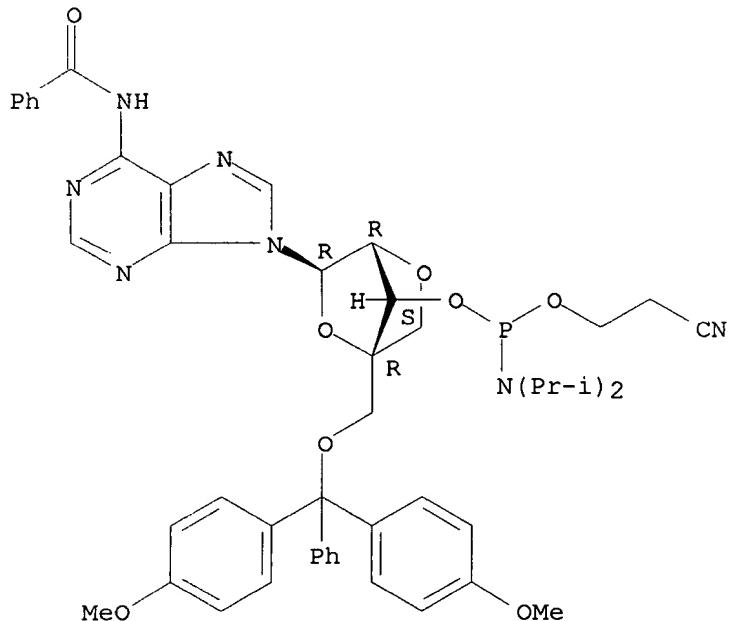
REFERENCE 1: 130:252609

REFERENCE 2: 128:308697

L67 ANSWER 21 OF 40 REGISTRY COPYRIGHT 2000 ACS
 RN 206055-79-0 REGISTRY
 CN Adenosine, N-benzoyl-5'-O-[bis(4-methoxyphenyl)phenylmethyl]-2'-O,4'-C-

methylene-, 3'-[2-cyanoethyl bis(1-methylethyl)phosphoramidite] (9CI) (CA
INDEX NAME)
FS STEREOSEARCH
MF C48 H52 N7 O8 P
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.



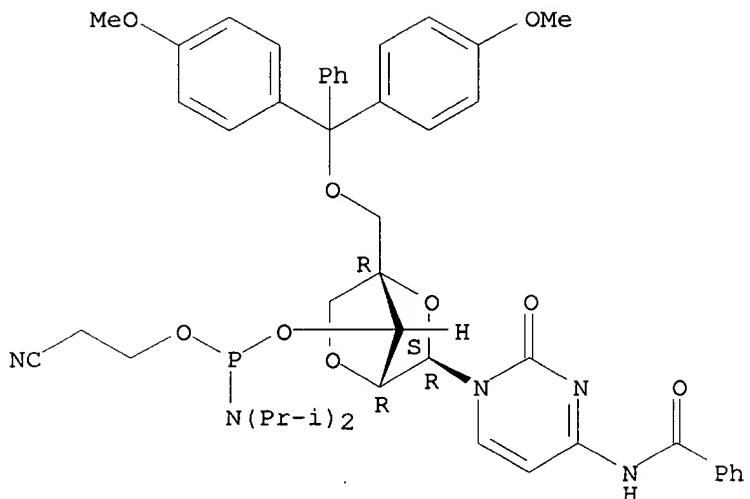
2 REFERENCES IN FILE CA (1967 TO DATE)
2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 130:252609

REFERENCE 2: 128:308697

L67 ANSWER 22 OF 40 REGISTRY COPYRIGHT 2000 ACS
RN 206055-78-9 REGISTRY
CN Cytidine, N-benzoyl-5'-O-[bis(4-methoxyphenyl)phenylmethyl]-2'-O,4'-C-methylene-, 3'-[2-cyanoethyl bis(1-methylethyl)phosphoramidite] (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C47 H52 N5 O9 P
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.



2 REFERENCES IN FILE CA (1967 TO DATE)
 2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 130:252609

REFERENCE 2: 128:308697

L67 ANSWER 23 OF 40 REGISTRY COPYRIGHT 2000 ACS

RN 206055-77-8 REGISTRY

CN Guanosine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-2'-O,4'-C-methylene-N-(2-methyl-1-oxopropyl)-, 3'-[2-cyanoethyl bis(1-methylethyl)phosphoramidite] (9CI) (CA INDEX NAME)

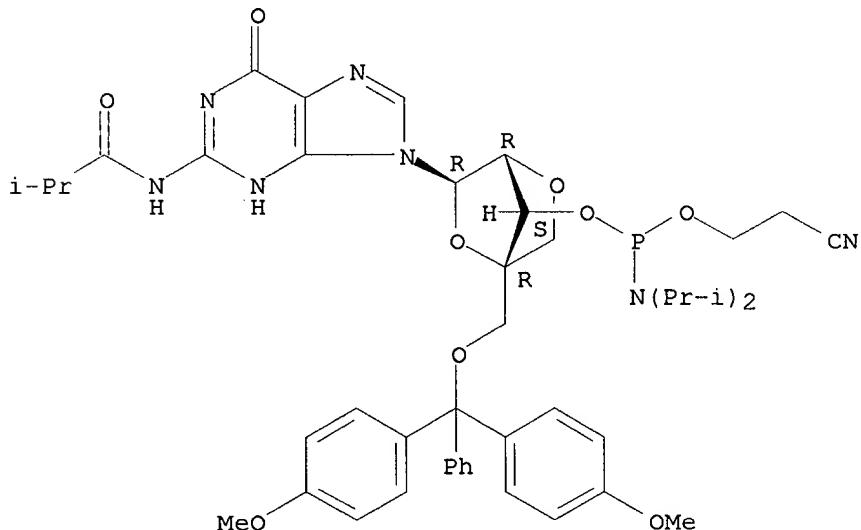
FS STEREOSEARCH

MF C45 H54 N7 O9 P

SR CA

LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.



2 REFERENCES IN FILE CA (1967 TO DATE)
 2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 130:252609

REFERENCE 2: 128:308697

L67 ANSWER 24 OF 40 REGISTRY COPYRIGHT 2000 ACS

RN 206055-76-7 REGISTRY

CN Uridine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-2'-O,4'-C-methylene-,
3'-[2-cyanoethyl bis(1-methylethyl)phosphoramidite] (9CI) (CA INDEX NAME)

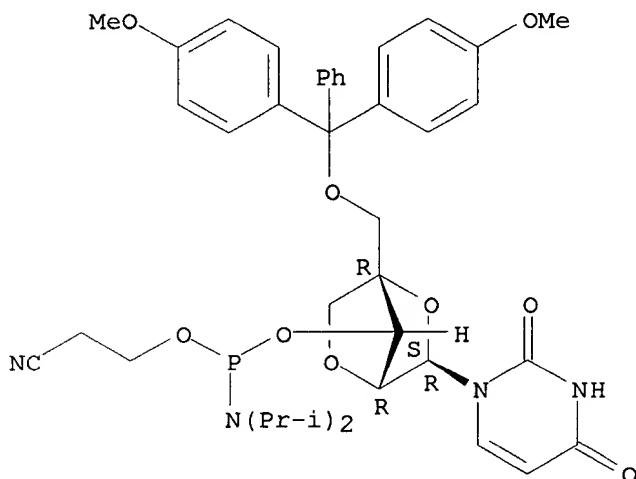
FS STEREOSEARCH

MF C40 H47 N4 O9 P

SR CA

LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.



4 REFERENCES IN FILE CA (1967 TO DATE)
 4 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 130:252609

REFERENCE 2: 129:245421

REFERENCE 3: 129:216849

REFERENCE 4: 128:308697

L67 ANSWER 25 OF 40 REGISTRY COPYRIGHT 2000 ACS

RN 206055-75-6 REGISTRY

CN 2,4(1H,3H)-Pyrimidinedione, 1-[2,5-anhydro-4-C-[[bis(4-methoxyphenyl)phenylmethoxy]methyl]-3-O-[[bis(1-methylethyl)amino](2-cyanoethoxy)phosphino]-.alpha.-L-lyxofuranosyl]-5-methyl- (9CI) (CA INDEX NAME)

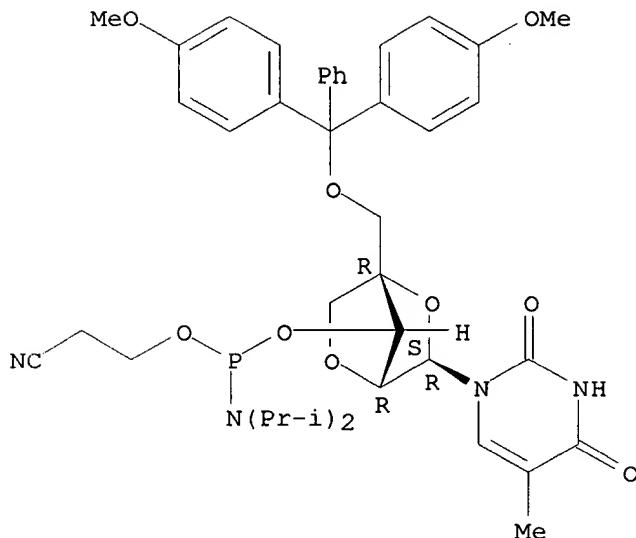
FS STEREOSEARCH

MF C41 H49 N4 O9 P

SR CA

LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.



3 REFERENCES IN FILE CA (1967 TO DATE)
3 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 130:252609

REFERENCE 2: 129:1792

REFERENCE 3: 128:308697

L67 ANSWER 26 OF 40 REGISTRY COPYRIGHT 2000 ACS

RN 206055-74-5 REGISTRY

CN Adenosine, N-benzoyl-5'-O-[bis(4-methoxyphenyl)phenylmethyl]-2'-O, 4'-C-methylene- (9CI) (CA INDEX NAME)

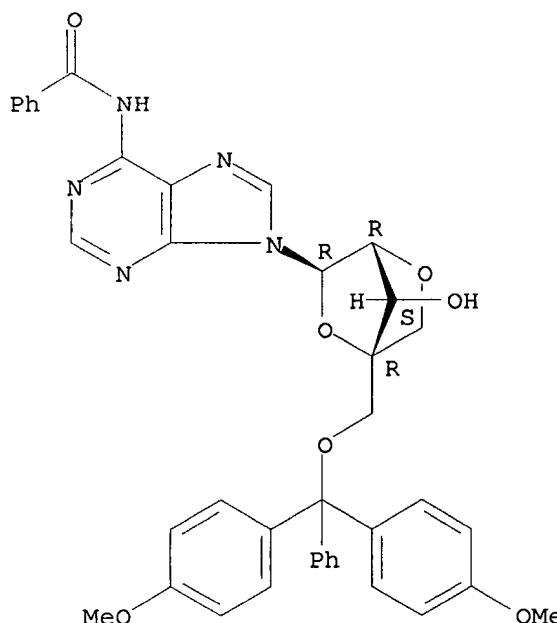
FS STEREOSEARCH

MF C39 H35 N5 O7

SR CA

LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.



2 REFERENCES IN FILE CA (1967 TO DATE)
 2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 130:252609

REFERENCE 2: 128:308697

L67 ANSWER 27 OF 40 REGISTRY COPYRIGHT 2000 ACS

RN 206055-73-4 REGISTRY

CN Cytidine, N-benzoyl-5'-O-[bis(4-methoxyphenyl)phenylmethyl]-2'-O,4'-C-methylene- (9CI) (CA INDEX NAME)

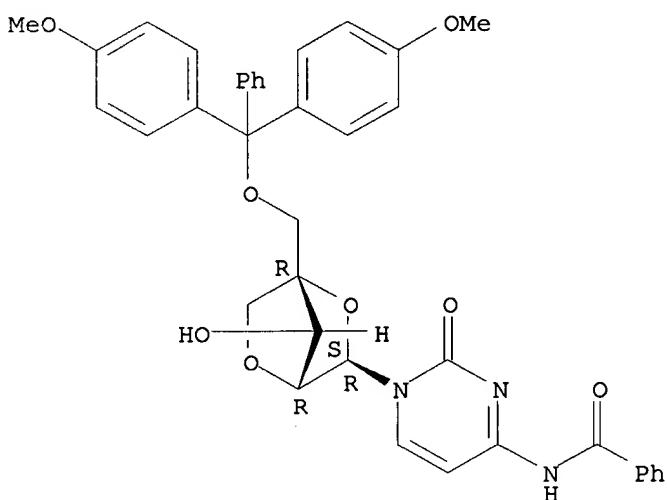
FS STEREOSEARCH

MF C38 H35 N3 O8

SR CA

LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.



2 REFERENCES IN FILE CA (1967 TO DATE)
 2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 130:252609

REFERENCE 2: 128:308697

L67 ANSWER 28 OF 40 REGISTRY COPYRIGHT 2000 ACS

RN 206055-72-3 REGISTRY

CN Guanosine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-2'-O,4'-C-methylene-N-(2-methyl-1-oxopropyl)- (9CI) (CA INDEX NAME)

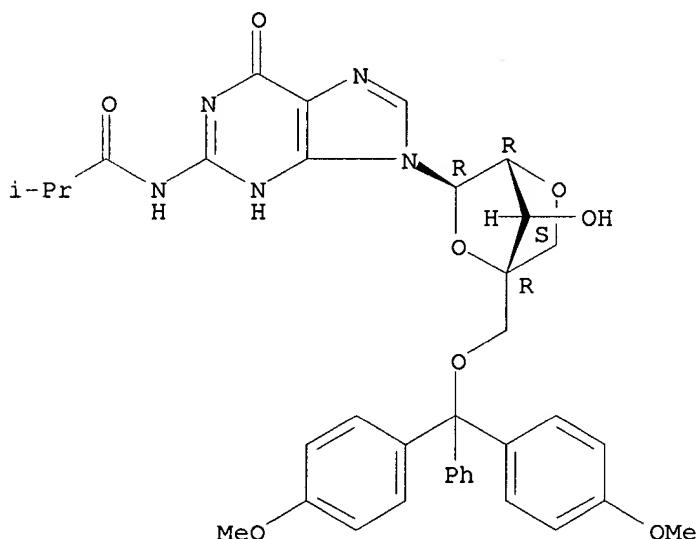
FS STEREOSEARCH

MF C36 H37 N5 O8

SR CA

LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.



2 REFERENCES IN FILE CA (1967 TO DATE)

2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 130:252609

REFERENCE 2: 128:308697

L67 ANSWER 29 OF 40 REGISTRY COPYRIGHT 2000 ACS

RN 206055-71-2 REGISTRY

CN 2,4(1H,3H)-Pyrimidinedione, 1-[2,5-anhydro-4-C-[(bis(4-methoxyphenyl)phenylmethoxy)methyl]-.alpha.-L-lyxofuranosyl]-5-methyl- (9CI) (CA INDEX NAME)

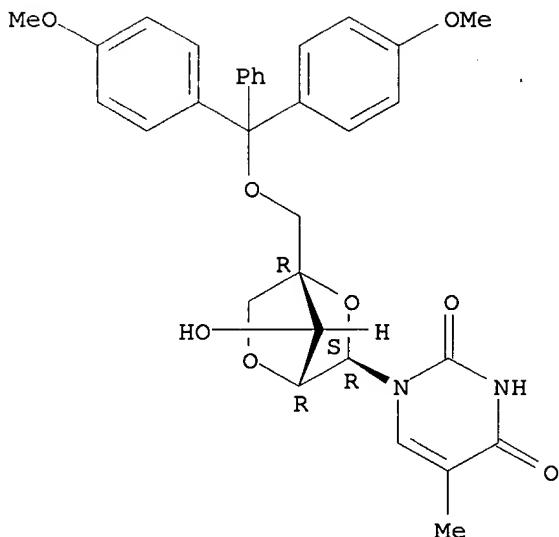
FS STEREOSEARCH

MF C32 H32 N2 O8

SR CA

LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.



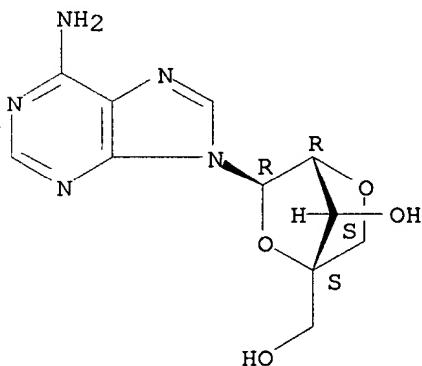
2 REFERENCES IN FILE CA (1967 TO DATE)
 2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 130:252609

REFERENCE 2: 128:308697

L67 ANSWER 30 OF 40 REGISTRY COPYRIGHT 2000 ACS
 RN 206055-70-1 REGISTRY
 CN Adenosine, 2'-O,4'-C-methylene- (9CI) (CA INDEX NAME)
 FS STEREOSEARCH
 MF C11 H13 N5 O4
 SR CA
 LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.



2 REFERENCES IN FILE CA (1967 TO DATE)
 2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

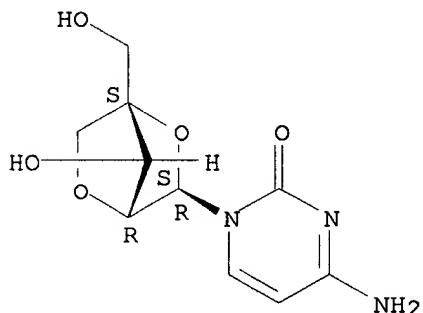
REFERENCE 1: 130:252609

REFERENCE 2: 128:308697

L67 ANSWER 31 OF 40 REGISTRY COPYRIGHT 2000 ACS
 RN 206055-69-8 REGISTRY
 CN Cytidine, 2'-O,4'-C-methylene- (9CI) (CA INDEX NAME)
 FS STEREOSEARCH
 MF C10 H13 N3 O5

SR CA
 LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.



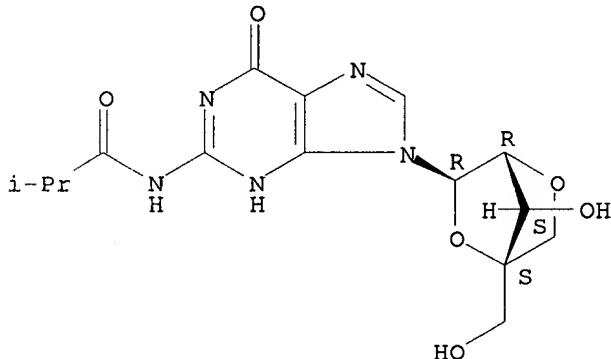
2 REFERENCES IN FILE CA (1967 TO DATE)
 2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 130:252609

REFERENCE 2: 128:308697

L67 ANSWER 32 OF 40 REGISTRY COPYRIGHT 2000 ACS
 RN 206055-68-7 REGISTRY
 CN Guanosine, 2'-O,4'-C-methylene-N-(2-methyl-1-oxopropyl)- (9CI) (CA INDEX NAME)
 FS STEREOSEARCH
 MF C15 H19 N5 O6
 SR CA
 LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.



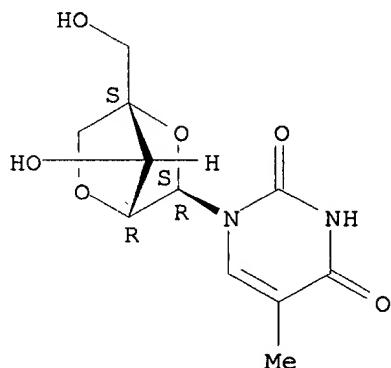
2 REFERENCES IN FILE CA (1967 TO DATE)
 2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 130:252609

REFERENCE 2: 128:308697

L67 ANSWER 33 OF 40 REGISTRY COPYRIGHT 2000 ACS
 RN 206055-67-6 REGISTRY
 CN Uridine, 5-methyl-2'-O,4'-C-methylene- (9CI) (CA INDEX NAME)
 FS STEREOSEARCH
 MF C11 H14 N2 O6
 SR CA
 LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry. Rotation (+).



7 REFERENCES IN FILE CA (1967 TO DATE)
 7 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 131:157908

REFERENCE 2: 130:252609

REFERENCE 3: 130:125331

REFERENCE 4: 129:245421

REFERENCE 5: 129:109295

REFERENCE 6: 129:1792

REFERENCE 7: 128:308697

L67 ANSWER 34 OF 40 REGISTRY COPYRIGHT 2000 ACS

RN 206055-66-5 REGISTRY

CN Adenosine, N-benzoyl-2'-O,4'-C-methylene-3',5'-bis-O-(phenylmethyl)- (9CI)
 (CA INDEX NAME)

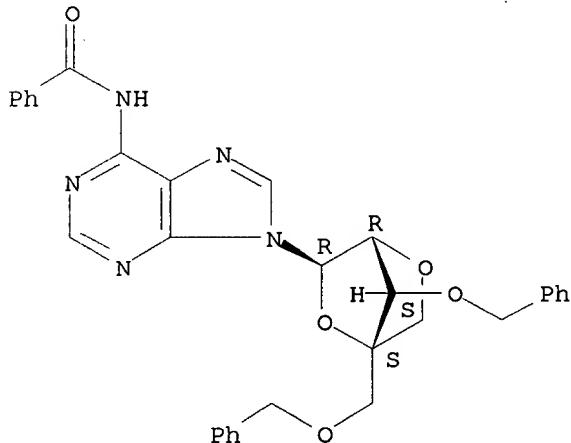
FS STEREOSEARCH

MF C32 H29 N5 O5

SR CA

LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.



2 REFERENCES IN FILE CA (1967 TO DATE)
 2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 130:252609

REFERENCE 2: 128:308697

L67 ANSWER 35 OF 40 REGISTRY COPYRIGHT 2000 ACS

RN 206055-65-4 REGISTRY

CN Cytidine, N-benzoyl-2'-O,4'-C-methylene-3',5'-bis-O-(phenylmethyl)- (9CI)
(CA INDEX NAME)

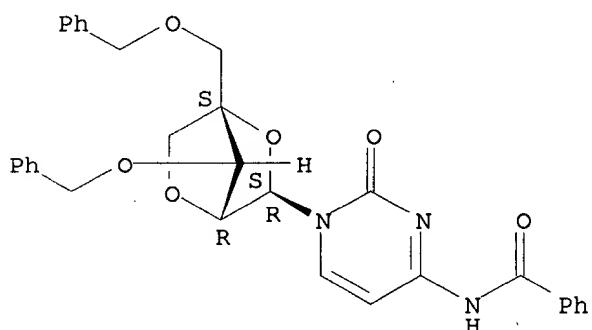
FS STEREOSEARCH

MF C31 H29 N3 O6

SR CA

LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.



2 REFERENCES IN FILE CA (1967 TO DATE)

2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 130:252609

REFERENCE 2: 128:308697

L67 ANSWER 36 OF 40 REGISTRY COPYRIGHT 2000 ACS

RN 206055-64-3 REGISTRY

CN Guanosine, 2'-O,4'-C-methylene-N-(2-methyl-1-oxopropyl)-3',5'-bis-O-(phenylmethyl)- (9CI) (CA INDEX NAME)

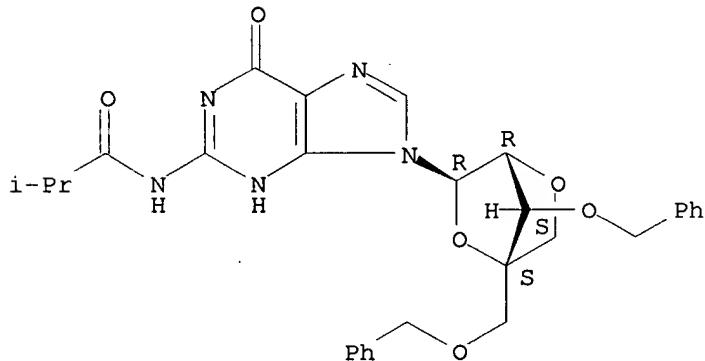
FS STEREOSEARCH

MF C29 H31 N5 O6

SR CA

LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.



2 REFERENCES IN FILE CA (1967 TO DATE)

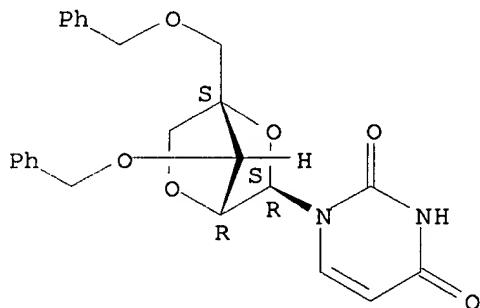
2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 130:252609

REFERENCE 2: 128:308697

L67 ANSWER 37 OF 40 REGISTRY COPYRIGHT 2000 ACS
 RN 206055-63-2 REGISTRY
 CN Uridine, 2'-O,4'-C-methylene-3',5'-bis-O-(phenylmethyl)- (9CI) (CA INDEX
 NAME)
 FS STEREOSEARCH
 MF C24 H24 N2 O6
 SR CA
 LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.



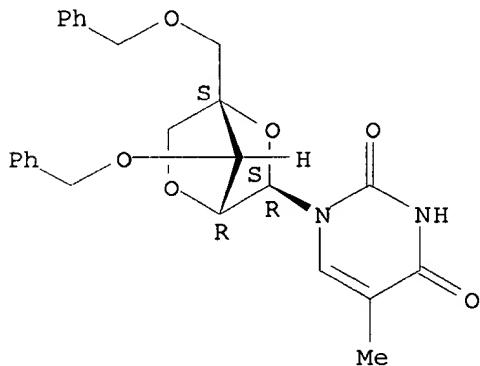
2 REFERENCES IN FILE CA (1967 TO DATE)
 2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 130:252609

REFERENCE 2: 128:308697

L67 ANSWER 38 OF 40 REGISTRY COPYRIGHT 2000 ACS
 RN 206055-62-1 REGISTRY
 CN Uridine, 5-methyl-2'-O,4'-C-methylene-3',5'-bis-O-(phenylmethyl)- (9CI)
 (CA INDEX NAME)
 FS STEREOSEARCH
 MF C25 H26 N2 O6
 SR CA
 LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.



6 REFERENCES IN FILE CA (1967 TO DATE)
 6 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 132:293971

REFERENCE 2: 131:157908

REFERENCE 3: 130:252609

REFERENCE 4: 129:109295

REFERENCE 5: 129:1792

REFERENCE 6: 128:308697

L67 ANSWER 39 OF 40 REGISTRY COPYRIGHT 2000 ACS

RN 200435-92-3 REGISTRY

CN 2,4(1H,3H)-Pyrimidinedione, 1-[2,5-anhydro-4-C-(hydroxymethyl)-.alpha.-L-lyxofuranosyl]- (9CI) (CA INDEX NAME)

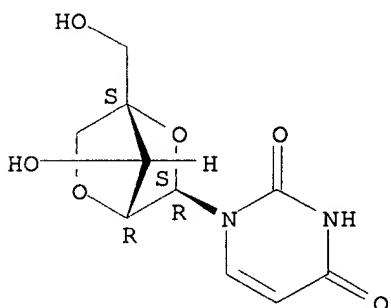
FS STEREOSEARCH

MF C10 H12 N2 O6

SR CA

LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry. Rotation (+).



4 REFERENCES IN FILE CA (1967 TO DATE)

4 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 130:252609

REFERENCE 2: 129:245421

REFERENCE 3: 128:308697

REFERENCE 4: 128:61733

L67 ANSWER 40 OF 40 REGISTRY COPYRIGHT 2000 ACS

RN 195705-32-9 REGISTRY

CN 2,4(1H,3H)-Pyrimidinedione, 1-[2,5-anhydro-4-C-[bis(4-methoxyphenyl)phenylmethoxy]methyl]-.alpha.-L-lyxofuranosyl]- (9CI) (CA INDEX NAME)

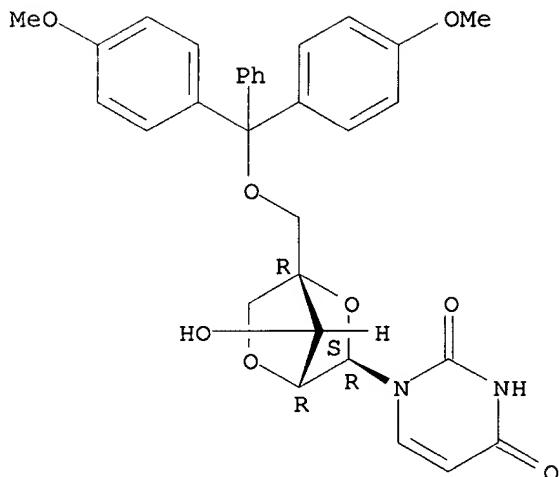
FS STEREOSEARCH

MF C31 H30 N2 O8

SR CA

LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry. Rotation (+).



6 REFERENCES IN FILE CA (1967 TO DATE)
 6 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 130:252609
 REFERENCE 2: 129:245421
 REFERENCE 3: 129:216849
 REFERENCE 4: 128:308697
 REFERENCE 5: 128:61733
 REFERENCE 6: 127:248347

=> fil hcaplus

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 FILE LAST UPDATED: 6 Aug 2000 (20000806/ED)

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=> d bib abs tot

L68 ANSWER 1 OF 14 HCAPLUS COPYRIGHT 2000 ACS
 AN 1999:655999 HCAPLUS
 DN 131:282376
 TI Antisense inhibition of ras gene with oligonucleotide analogs containing methylene(methylimino) linkages
 IN Ecker, David J.; Cook, Phillip Dan; Monia, Brett P.; Freier, Susan M.; Sanghvi, Yogesh S.
 PA Isis Pharmaceuticals, Inc., USA
 SO U.S., 64 pp., Cont.-in-part of U.S. Ser. No. 317,289.
 CODEN: USXXXAM

DT Patent

LA English

FAN.CNT 69

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5965722	A	19991012	US 1997-848840	19970430 <--
	WO 9313121	A1	19930708	WO 1992-US11339	19921223 <--
	W: AU, BB, BG, BR, CA, CS, FI, HU, JP, KP, KR, LK, MG, MN, MW, NO, NZ, PL, RO, RU, SD, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, SN, TD, TG				
	US 5386023	A	19950131	US 1993-40903	19930331 <--
	US 5489677	A	19960206	US 1993-40526	19930331 <--
	WO 9408003	A1	19940414	WO 1993-US9346	19931001 <--
	W: AU, BB, BG, BR, BY, CA, CZ, FI, HU, JP, KP, KR, KZ, LK, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SK, UA, US, VN				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	HU 73335	A2	19960729	HU 1995-979	19931001 <--
	US 5866698	A	19990202	US 1994-227180	19940413 <--
	US 5623065	A	19970422	US 1994-244993	19940621 <--
	US 5618704	A	19970408	US 1994-300072	19940902 <--
	US 5792844	A	19980811	US 1994-317289	19941003 <--
	US 5808023	A	19980915	US 1994-335046	19941107 <--
	US 5859221	A	19990112	US 1995-468037	19950606 <--
	US 5969118	A	19991019	US 1997-794493	19970204 <--
	WO 9849349	A1	19981105	WO 1998-US8800	19980430 <--
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	AU 9875638	A1	19981124	AU 1998-75638	19980430 <--
	EP 981648	A1	20000301	EP 1998-923319	19980430 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
PRAI	US 1991-801168	19911120	<--		
	US 1991-814961	19911224	<--		
	US 1992-958134	19921005	<--		
	WO 1992-US11339	19921223	<--		
	US 1993-7996	19930121	<--		
	US 1993-39979	19930330	<--		
	US 1993-40526	19930331	<--		
	US 1993-40903	19930331	<--		
	US 1993-40933	19930331	<--		
	WO 1993-US9346	19931001	<--		
	US 1994-227180	19940413	<--		
	US 1994-244993	19940621	<--		
	US 1994-300072	19940902	<--		
	US 1994-317289	19941003	<--		
	US 1994-335046	19941107	<--		
	US 1995-411734	19950403	<--		

US 1995-465866 19950606 <--
 US 1995-468037 19950606 <--
 US 1995-488256 19950607 <--
 US 1997-794493 19970204 <--
 US 1990-463358 19900111 <--
 US 1990-518929 19900504 <--
 US 1990-558663 19900727 <--
 US 1990-566836 19900813 <--
 US 1990-566977 19900813 <--
 WO 1991-US2558 19910415 <--
 US 1991-703619 19910521 <--
 US 1991-715196 19910614 <--
 US 1992-835932 19920305 <--
 WO 1992-US4294 19920521 <--
 US 1992-903160 19920624 <--
 US 1992-854634 19920701 <--
 US 1997-848840 19970430 <--
 WO 1998-US8800 19980430

AB Compns. and methods are provided for the modulation of expression of the human ras gene in both the normal and activated forms. Oligonucleotides are provided that have methylene(methylimino) linkages alternating with phosphorothioate or phosphodiester linkages. Further oligonucleotides are provided that have a first region having a methylene(methylimino) linkage alternating with a phosphorothioate or phosphodiester linkage and a second region having phosphorothioate linkages. Such oligonucleotides can be used for diagnostics as well as for research purposes including methods for diagnosis, detection and treatment of conditions arising from the activation of the H-ras gene. Thus, a no. of different phosphorothioate-linked antisense oligonucleotides, some contg. methylene(methylimino)-linkages, were tested for inhibition of H-ras gene expression as well as tumor cell growth in vivo. These oligonucleotide analogs were directed either to the initiation codon or to mutant codon 12.

RE.CNT 362

RE

- (1) Agarwal; Nucl Acids Res 1979, V6, P3009 HCPLUS
- (2) Agrawal; Proc Natl Acad Sci USA 1988, V85, P7079 HCPLUS
- (3) Agrawal, S; Proc Natl Acad Sci USA 1990, V87, P1401 HCPLUS
- (4) Agris; Biochemistry 1986, V25(20), P6268 HCPLUS
- (5) Anfossi; Proc Natl Acad Sci 1989, V86, P3379 HCPLUS

ALL CITATIONS AVAILABLE IN THE RE FORMAT

L68 ANSWER 2 OF 14 HCPLUS COPYRIGHT 2000 ACS

AN 1999:64976 HCPLUS

DN 130:134176

TI Antisense oligonucleotides inhibiting expression of alleles of the ras gene

IN Monia, Brett P.; Cowser, Lex M.; Manoharan, Muthiah

PA Isis Pharmaceuticals, Inc., USA

SO PCT Int. Appl., 97 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 69

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9902732	A1	19990121	WO 1998-US13966	19980706 <--
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, FI, GB, GE, GH, GM, GW, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, GM, GA, GN, ML, MR, NE, SN, TD, TG				
	US 5872242	A	19990216	US 1997-889296	19970708 <--

AU 9882899 A1 19990208 AU 1998-82899 19980706 <--
 EP 1009860 A1 20000621 EP 1998-933184 19980706 <--
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, FI
 PRAI US 1997-889296 19970708 <--
 US 1992-958134 19921005 <--
 US 1993-7996 19930121 <--
 US 1995-411734 19950403 <--
 WO 1998-US13966 19980706

AB Antisense oligonucleotides that hybridize with mRNA for the human of H-ras, Ki-ras and N-ras genes and that can inhibit expression are described. Such oligonucleotides can be used for therapeutics and diagnostics as well as for research purposes. Methods are also disclosed for modulating ras gene expression in cells and tissues using the oligonucleotides provided, and for specific modulation of expression of activated ras. Methods for diagnosis, detection and treatment of conditions assocd. with ras are also disclosed. A series of reporter gene expts. in which target sequences were identified in the H-ras gene and alterations in the backbone that stabilized the oligonucleotide without loss of antisense activity and retaining the ability to attract RNase H cleavage of the hybrid are reported.

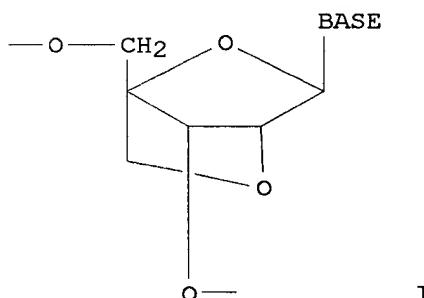
RE.CNT 8

RE

- (1) Agrawal; Proceedings of the National Academy of Sciences USA 1990, V87, P1401 HCPLUS
- (2) Bos; US 4871838 A 1989 HCPLUS
- (3) Daaka; Oncogene Research 1990, V5 HCPLUS
- (4) Hall; Nucleic Acids Research 1985, V13(14), P5255 HCPLUS
- (5) Inoue; FEBS Letters 1987, V215(2), P327 HCPLUS

ALL CITATIONS AVAILABLE IN THE RE FORMAT

L68 ANSWER 3 OF 14 HCPLUS COPYRIGHT 2000 ACS
 AN 1998:771986 HCPLUS
 DN 130:139574
 TI LNA (Locked Nucleic Acid): An RNA Mimic Forming Exceedingly Stable LNA:LNA Duplexes
 AU Koshkin, Alexei A.; Nielsen, Poul; Meldgaard, Michael; Rajwanshi, Vivek K.; Singh, Sanjay K.; Wengel, Jesper
 CS Center for Synthetic Bioorganic Chemistry Department of Chemistry, University of Copenhagen, Copenhagen, DK-2100, Den.
 SO J. Am. Chem. Soc. (1998), 120(50), 13252-13253
 CODEN: JACSAT; ISSN: 0002-7863
 PB American Chemical Society
 DT Journal
 LA English
 GI



AB Locked nucleic acids, contg. 2'-O-, 4'-C-methylene linked bicyclic ribofuranosyl nucleosides (I), have become interesting structures in the

search for nucleic acid mimics capable of finding strongly to DNA or RNA complements. LNA:LNA hybridization was shown to be the most thermally stable nucleic acid type duplex system, and the RNA-mimicking character of LNA was established at the duplex level. Introduction of 3 LNA monomers (TL or AL) induced significantly increase MPs (.DELTA.Tm = +15.degree./+11.degree.) toward DNA complements. The universality of LNA-mediated hybridization has been stressed by the formation of exceedingly stable LNA:LNA duplexes. The RNA-mimicking of LNA was reflected with regard to the N-type conformational restriction of the monomers and to the secondary structure of the LNA:RNA duplex. The general LNA hybridization proceeded by duplex formation via Watson-Crick H-bonding in a predictable manner, establishing the development of LNA as an important example of biomimetic chem.

RE.CNT 25

RE

- (1) Altmann, K; Tetrahedron Lett 1994, V35, P2331 HCPLUS
- (2) Bolli, M; Chem Biol 1996, V3, P197 HCPLUS
- (4) Christensen, N; J Am Chem Soc 1998, V120, P5458 HCPLUS
- (6) Egli, M; Antisense Nucleic Acid Drug Dev 1998, V8, P123 HCPLUS
- (7) Gryaznov, S; Proc Natl Acad Sci U S A 1995, V92, P5798 HCPLUS

ALL CITATIONS AVAILABLE IN THE RE FORMAT

L68 ANSWER 4 OF 14 HCPLUS COPYRIGHT 2000 ACS

AN 1998:728602 HCPLUS

DN 130:17212

TI Oligonucleotides modified for enhanced bioavailability

IN Dean, Nicholas M.; Bennett, C. Frank; Monia, Brett P.; Draper, Kenneth; Anderson, Kevin P.; Baker, Brenda F.; Ecker, David J.

PA Isis Pharmaceuticals, Inc., USA

SO PCT Int. Appl., 55 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI <u>WO 9849348</u>	A1	19981105	WO 1998-US8798	19980430 <--
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9872723	A1	19981124	AU 1998-72723	19980430 <--
EP 979309	A1	20000216	EP 1998-920076	19980430 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				

PRAI US 1997-847151 19970430 <--

WO 1998-US8798 19980430

AB The present invention provides compns. and methods for the alimentary delivery of oligonucleotides in an animal, including a human. Modifications to the oligonucleotide which enhance uptake following alimentary delivery are provided.

RE.CNT 9

RE

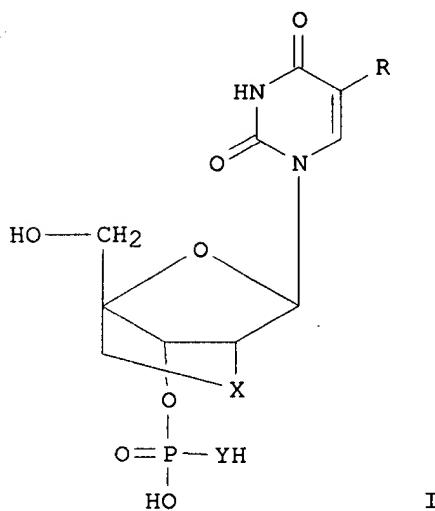
- (1) Agrawal, S; Biochem Pharmacol 1995, V50(4), P571 HCPLUS
- (2) Hanecak, R; J Virology 1996, V70(8), P5203 HCPLUS
- (3) Lesnik, E; Biochemistry 1993, V32(30), P7832 HCPLUS
- (4) Manoharan, M; Nucleosides & Nucleotides 1995, V14(3-5), P969 HCPLUS
- (5) Manoharan, M; Tetrahedron Letters 1995, V36(21), P3651 HCPLUS

ALL CITATIONS AVAILABLE IN THE RE FORMAT

L68 ANSWER 5 OF 14 HCPLUS COPYRIGHT 2000 ACS

AN 1998:606897 HCPLUS

DN 129:302798
 TI The first analogs of LNA (locked nucleic acids): phosphorothioate-LNA and 2'-thio-LNA
 AU Kumar, Ravindra; Singh, Sanjay K.; Koshkin, Alexei A.; Rajwanshi, Vivek K.; Meldgaard, Michael; Wengel, Jesper
 CS Center for Synthetic Bioorganic Chemistry, Department of Chemistry, University of Copenhagen, Copenhagen, DK-2100, Den.
 SO Bioorg. Med. Chem. Lett. (1998), 8(16), 2219-2222
 CODEN: BMCLE8; ISSN: 0960-894X
 PB Elsevier Science Ltd.
 DT Journal
 LA English
 GI



AB LNA (Locked Nucleic Acids) are novel oligonucleotide analogs capable of recognizing complementary DNA and RNA with unprecedented thermal affinities. Synthesis of the first chem. modified LNA analogs is reported. A 9-mer phosphorothioate-LNA contg. three LNA thymine monomers (I, X = O, Y = S, R = Me) and 9-mer LNAs contg. one, three or five 2'-thio-LNA monomers (I, X = S, Y = O, R = H) were able to recognize both complementary DNA and RNA with thermal affinities comparable to those of parent LNA.

L68 ANSWER 6 OF 14 HCAPLUS COPYRIGHT 2000 ACS
 AN 1998:362973 HCAPLUS
 DN 129:149173
 TI Universality of LNA-mediated high-affinity nucleic acid recognition
 AU Singh, Sanjay K.; Wengel, Jesper
 CS Department of Chemistry, University of Copenhagen Centre for Synthetic Bioorganic Chemistry, Copenhagen, DK-2100, Den.
 SO Chem. Commun. (Cambridge) (1998), (12), 1247-1248
 CODEN: CHCOFS; ISSN: 1359-7345
 PB Royal Society of Chemistry
 DT Journal
 LA English
 AB LNA (locked nucleic acid) is a novel class of nucleic acid mimic structurally closely resembling RNA. Incorporation of three LNA monomers together with six ribonucleotide monomers afforded the first ribo-LNA sequence. Unprecedented thermal stabilities of duplexes towards complementary DNA and RNA without compromising base-pairing selectivity were obtained for ribo-LNA, thus establishing the universality of LNA-mediated efficient targeting of natural nucleic acids.

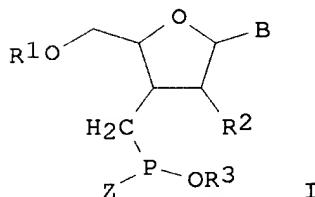
L68 ANSWER 7 OF 14 HCAPLUS COPYRIGHT 2000 ACS

AN 1998:331697 HCPLUS
 DN 129:28159
 TI A Novel Class of Oligonucleotide Analogs Containing 2'-O,3'-C-Linked [3.2.0]Bicycloarabinonucleoside Monomers: Synthesis, Thermal Affinity Studies, and Molecular Modeling
 AU Christensen, Nanna K.; Petersen, Michael; Nielsen, Poul; Jacobsen, Jens P.; Olsen, Carl Erik; Wengel, Jesper
 CS Department of Chemistry, Odense University, Odense M, DK-5230, Den.
 SO J. Am. Chem. Soc. (1998), 120(22), 5458-5463
 CODEN: JACSAT; ISSN: 0002-7863
 PB American Chemical Society
 DT Journal
 LA English
 AB Oligonucleotide analogs contg. a novel 2'-O,3'-C-linked [3.2.0]bicyclonucleoside have been efficiently synthesized. Enhanced thermal stabilities of duplexes toward both RNA and DNA are reported for a 14-mer oligothymidylate contg. 13 modifications and for a nonamer mixed sequence contg. three modifications. These results and the results from mol. modeling reveal that strong conformational restriction of a monomer can be important for favorable duplex formation though the fixed conformation of the pentofuranose ring deviates from a North or South conformation.

L68 ANSWER 8 OF 14 HCPLUS COPYRIGHT 2000 ACS
 AN 1998:14443 HCPLUS
 DN 128:240833
 TI The ups and downs of nucleic acid duplex stability: structure-stability studies on chemically-modified DNA:RNA duplexes
 AU Freier, Susan M.; Altmann, Karl-Heinz
 CS Isis Pharmaceuticals, Carlsbad, CA, 92008, USA
 SO Nucleic Acids Res. (1997), 25(22), 4429-4443
 CODEN: NARHAD; ISSN: 0305-1048
 PB Oxford University Press
 DT Journal
 LA English
 AB In an effort to discover novel oligonucleotide modifications for antisense therapeutics, the authors have prep'd. oligodeoxyribonucleotides contg. more than 200 different modifications and measured their affinities for complementary RNA. These include modifications to the heterocyclic bases, the deoxyribose sugar and the phosphodiester linkage. From these results, the authors have been able to det. structure-activity relationships that correlate hybridization affinity with changes in oligonucleotide structure. Data for oligonucleotides contg. modified pyrimidine nucleotides are presented. In general, modifications that resulted in the most stable duplexes contained a heteroatom at the 2'-position of the sugar. Other sugar modifications usually led to diminished hybrid stability. Most backbone modifications that led to improved hybridization restricted backbone mobility and resulted in an A-type sugar pucker for the residue 5' to the modified internucleotide linkage. Among the heterocycles, C-5-substituted pyrimidines stood out as substantially increasing duplex stability.

L68 ANSWER 9 OF 14 HCPLUS COPYRIGHT 2000 ACS
 AN 1998:13973 HCPLUS
 DN 128:89086
 TI Preparation of nucleotide methylphosphonate intermediates for oligodeoxyribonucleotide synthesis
 IN Collingwood, Stephen Paul; Moser, Heinz Ernst; Altmann, Karl-Heinz; Douglas, Mark Edward
 PA Novartis A.-G., Switz.; Collingwood, Stephen Paul; Moser, Heinz Ernst; Altmann, Karl-Heinz; Douglas, Mark Edward
 SO PCT Int. Appl., 36 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9747636	A2	19971218	WO 1997-GB1490	19970603 <--
W: AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GE, GH, HU, IL, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2257453	AA	19971218	CA 1997-2257453	19970603 <--
AU 9729719	A1	19980107	AU 1997-29719	19970603 <--
EP 906327	A2	19990407	EP 1997-924155	19970603 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
CN 1221423	A	19990630	CN 1997-195452	19970603 <--
BR 9709791	A	19990810	BR 1997-9791	19970603 <--
PRAI GB 1996-12600		19960613 <--		
WO 1997-GB1490		19970603 <--		
OS MARPAT 128:89086				
GI				



AB Nucleotide methylphosphonates I [B = nucleobase; R1 = H, hydroxy-protecting group; R2 = H, OH, 2'-nucleoside-modifying atom or group; R3 = (un)substituted C1-C10 alkyl, C2-C10 alkenyl, C4-C10 cycloalkylalkyl, C6-C10 aryl, C7-C13 aralkyl; Z = halogen, alkylamine, alkenylamine, cycloalkylamine, arylamine, aralkylamine, nucleoside or oligodeoxyribonucleotide] were prep'd. Thus, I [B = thymine, R1 = DMTr, R2 = H, R3 = CH2CH2CN, Z = N(iPr)2] was prep'd. as synthon for oligodeoxyribonucleotide synthesis.

L68 ANSWER 10 OF 14 HCPLUS COPYRIGHT 2000 ACS
 AN 1995:1000225 HCPLUS
 DN 124:202878
 TI Oligonucleotide Mimics for Antisense Therapeutics: Solution Phase and Automated Solid-Support Synthesis of MMI Linked Oligomers
 AU Morvan, Francois; Sanghvi, Yogesh S.; Perbost, Michel; Vasseur, Jean-Jacques; Bellon, Laurent
 CS Medicinal Chemistry Department, Isis Pharmaceuticals, Karlovary, CA, 92008, USA
 SO J. Am. Chem. Soc. (1996), 118(1), 255-6
 CODEN: JACSAT; ISSN: 0002-7863
 DT Journal
 LA English
 AB CH2NMe-linked analogs of the phosphorothioate-linked oligonucleotide Isis 3521 were prep'd. by automated synthesis. The new oligonucleotides hybridized their complimentary RNA with better affinity and specificity than Isis 3521 and inhibited PKC-.alpha. protein expression.

L68 ANSWER 11 OF 14 HCPLUS COPYRIGHT 2000 ACS
 AN 1995:969424 HCPLUS
 DN 124:146761
 TI Backbone-modified oligonucleotide analogs and solid phase synthesis
 IN Co~~ok~~, Phillip Dan; Sanghvi, Yogesh S.; Morvan, Francois
 PA Isis Pharmaceuticals, Inc., USA

SO PCT Int. Appl., 92 pp.
CODEN: PIXXD2

DT Patent
LA English

FAN.CNT 69

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9518136	A1	19950706	WO 1994-US14883	19941228 <--
	W: CA, JP, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	US 5541307	A	19960730	US 1993-174379	19931228 <--
	EP 737201	A1	19961016	EP 1995-906115	19941228 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
PRAI	US 1993-174379		19931228 <--		
	US 1990-558663		19900727 <--		
	US 1990-566836		19900813 <--		
	US 1991-703619		19910521 <--		
	US 1992-903160		19920624 <--		
	US 1993-40903		19930331 <--		
	WO 1994-US14883		19941228 <--		

AB Compds. and methods for prep. nuclease-resistant oligonucleotide analogs are provided. In preferred embodiments, the methods involve solid-phase coupling of synthons bearing either 3'-electrophilic groups and 5'-nucleophilic groups or 5'-electrophilic groups and 3'-nucleophilic groups to form neutral, achiral oligomers. In particular, amine-terminated synthons are coupled with aldehyde-terminated synthons to produce hydroxylamino- and/or hydrazino-contg. covalent linkages. Examples illustrate prepn. of a variety of nucleotide analogs, various nucleotide dimer and tetramer analogs contg. the novel linkages, and oligonucleotide analogs contg. both the novel and std. linkages. For instance, coupling of 5'-O-amino-N4-benzoyl-3'-O-tert-butyldiphenylsilyl-5-methyl-2'-deoxycytidine with 5'-O-tert-butyldiphenylsilyl-3'-deoxy-3'-C-formylthymidine to give an oxime, followed by deprotection of the benzamide function with NH3/MeOH, redn. of the oxime function with NaBH3CN, and reductive N-methylation with formaldehyde and NaBH3CN, gave the dimer TBDPS-O-T*MeC-O-TBDPS [TBDPS = tert-butyldiphenylsilyl; * = 3'-CH2NMeO-5' (hereafter "MMI") linkage; Me = 5-methyl] in 84% yield. This dimer was subjected to N-benzoylation, desilylation, tritylation, and phosphitylation, to give the dimer DMT-O-T*MeCBz-O-Amidite [DMT = 4,4'-dimethoxytrityl; Amidite = P(NPr-iso2)OCH2CH2CN; Bz = N4-benzoyl]. This and similar MMI-linkage dimers and tetramers were used to prep. chimeric oligonucleotides such as T*TPSC*TPSCPSGPSCPSTPSGPSTPSGPSAPSGPS T*TPST*C (code no. 9495; I; PS = phosphorothioate linkage). As an antisense oligonucleotide for PKC-.alpha. mRNA in A549 cells, I showed greater activity (IC50 = 80 nM) than the analogous std. oligonucleotide sequence with pure phosphorothioate linkages (IC50 = 175 nM).

L68 ANSWER 12 OF 14 HCPLUS COPYRIGHT 2000 ACS

AN 1995:631113 HCPLUS

DN 124:176753

TI 3'-C-hydroxymethylthymidine: synthesis and incorporation into oligodeoxynucleotide analogs

AU Jorgensen, Pia Norregaard; Svendsen, Margit L.; Nielsen, Claus; Wengel, Jesper

CS Dep. of Chemistry, Odense Univ., Odense, DK-5230, Den.

SO Nucleosides Nucleotides (1995), 14(3-5), 921-4

CODEN: NUNUD5; ISSN: 0732-8311

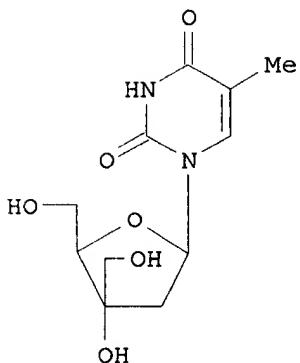
DT Journal

LA English

OS CASREACT 124:176753

GI

MJ



AB The stereoselective synthesis of C-hydroxymethylthymidine I in five steps from thymidine has been accomplished and this nucleoside has been incorporated into oligodeoxyribonucleotides (ODNs) in different ways.

L68 ANSWER 13 OF 14 HCPLUS COPYRIGHT 2000 ACS

AN 1995:400829 HCPLUS

DN 123:9841

TI Incorporation of 3'-C-(hydroxymethyl)thymidine into novel oligodeoxyribonucleotide analogs

AU Noerregaard Joergensen, Pia; Svendsen, Margit L.; Scheuer-Larsen, Claus; Wengel, Jesper

CS Dep. Chem., Odense Univ., Odense, DK-5230, Den.

SO Tetrahedron (1995), 51(7), 2155-64

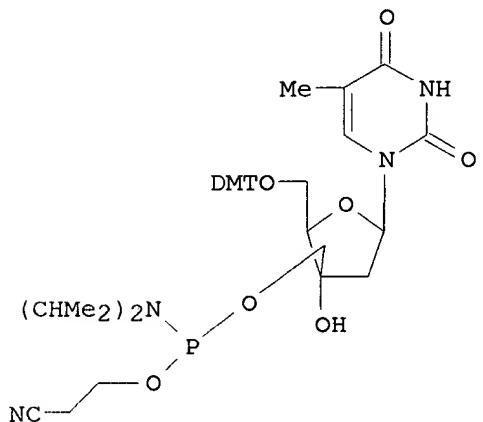
CODEN: TETRAB; ISSN: 0040-4020

DT Journal

LA English

GI

W/



AB 3'-C-(Hydroxymethyl)thymidine has been incorporated into novel oligodeoxyribonucleotide (ODN) analogs contg. extended (5'-hydroxyl to 3'-hydroxymethyl) backbones using the phosphoramidite building block I. The hybridization properties and enzymic stabilities of ODN analogs were studied by UV expts.

L68 ANSWER 14 OF 14 HCPLUS COPYRIGHT 2000 ACS

AN 1994:271032 HCPLUS

DN 120:271032

TI Oligodeoxynucleotide analogs containing 3'-deoxy-3'-C-threo-

hydroxymethylthymidine: synthesis, hybridization properties and enzymic stability
AU Svendsen, Margit L.; Wengel, Jesper; Dahl, Otto; Kirpekar, Finn;
Roepstorff, Peter
CS Dep. Chem., Odense Univ., Odense, DK-5230, Den.
SO Tetrahedron (1993), 49(48), 11341-52
CODEN: TETRAB; ISSN: 0040-4020
DT Journal
LA English
AB Novel Oligodeoxynucleotide analogs contg. 3'-C-threo-methylene phosphodiester internucleoside linkages were synthesized on automated DNA-synthesizers using the phosphoramidite approach. The sugar modified phosphoramidite building block 5 was obtained by phosphitylation of 1-(2,3-dideoxy-5-O-(4,4'-dimethoxytrityl)-3-C-hydroxymethyl-.beta.-D-threo-pentofuranosyl)thymine (4) which was synthesized in only three steps from 5'-O-(4,4'-dimethoxytrityl)thymidine (1). The hybridization properties and enzymic stability of the oligonucleotide analogs were studied by UV expts. 17-Mers having one or three modifications in the middle or two modifications in each end hybridized to DNA with moderate lowered affinity compared to unmodified 17-mers (.DELTA.Tm 1-3.degree. per modification). Furthermore, the end-modified and all-modified oligonucleotides were stable towards snake venom phosphodiesterase.

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